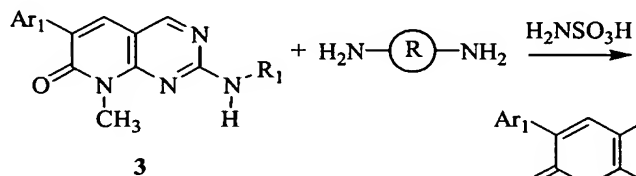
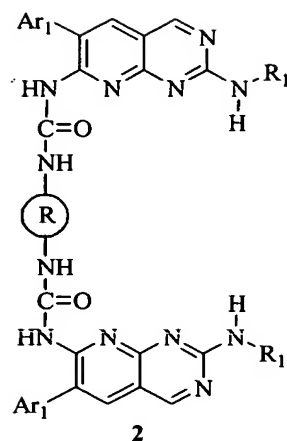
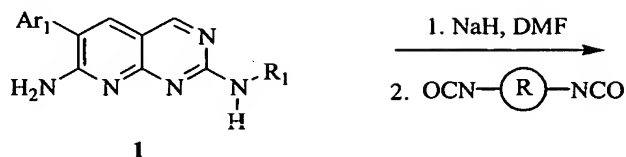
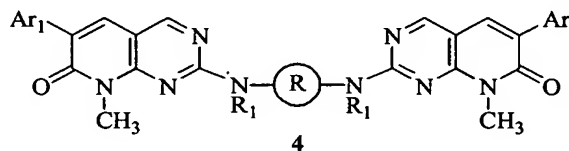


FIGURE 1

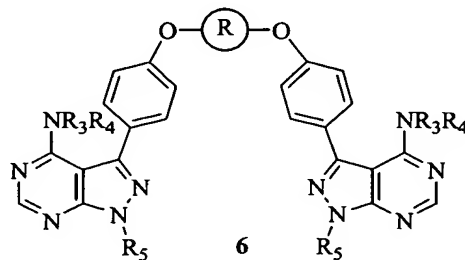
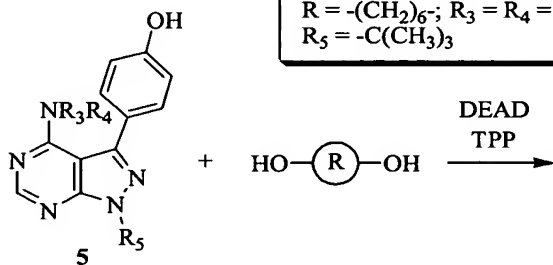
Example 1 [A]
 $R = -(CH_2)_4-$; $R_1 = H$;
 $Ar_1 = 2,6\text{-dichlorophenyl}$



Example 2 [B]
 $R = -(p)\text{-C}_6\text{H}_4-$; $R_1 = H$;
 $Ar_1 = 2,6\text{-dichlorophenyl}$



Example 3 [C]
 $R = -(CH_2)_6-$; $R_3 = R_4 = H$;
 $R_5 = -C(CH_3)_3$



Example 4 [D]
 $R = -(CH_2)_4-$; $R_6 = -CH_2\text{OAc}$;
 $R_7 = -\text{Ac}$

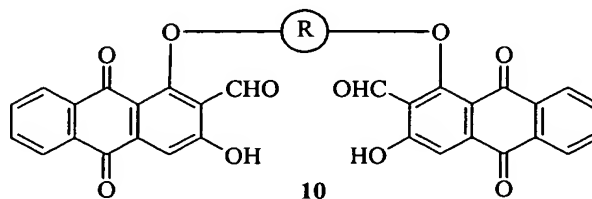
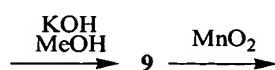
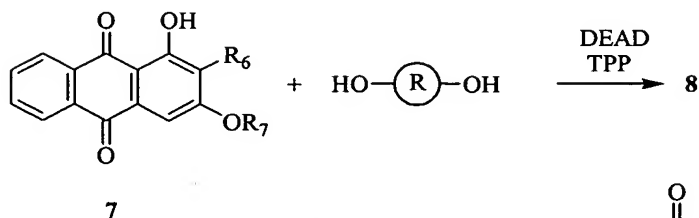


FIGURE 2

2/35

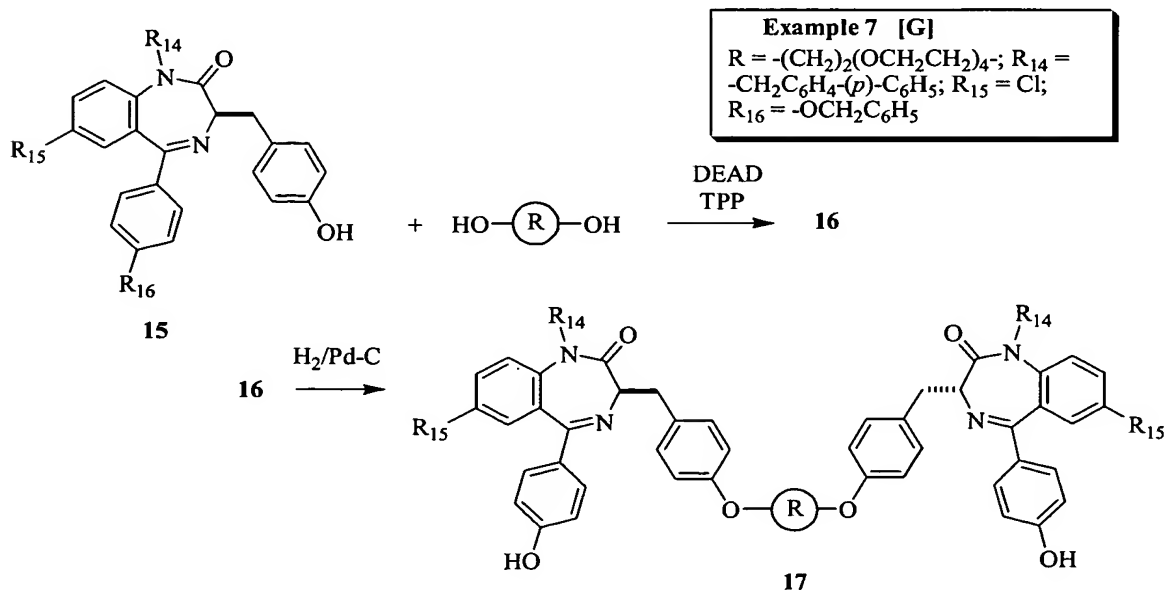
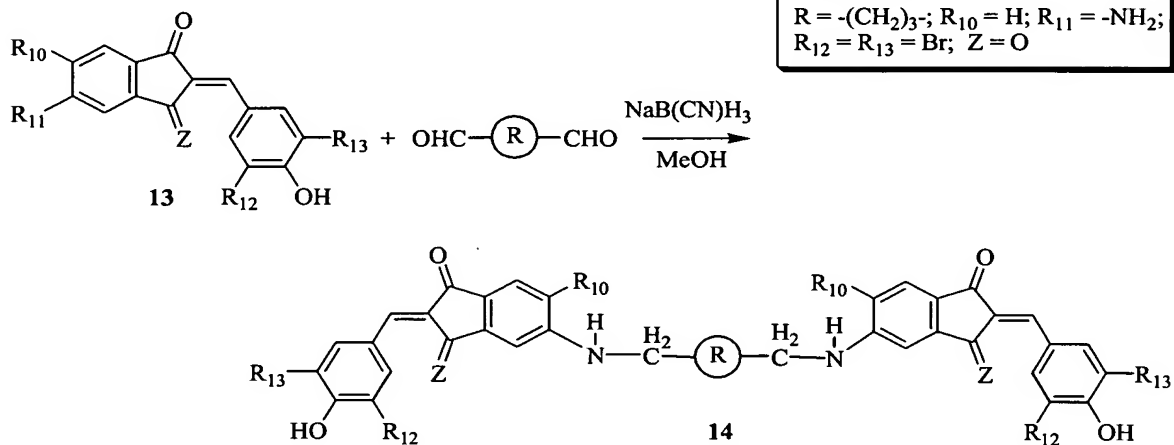
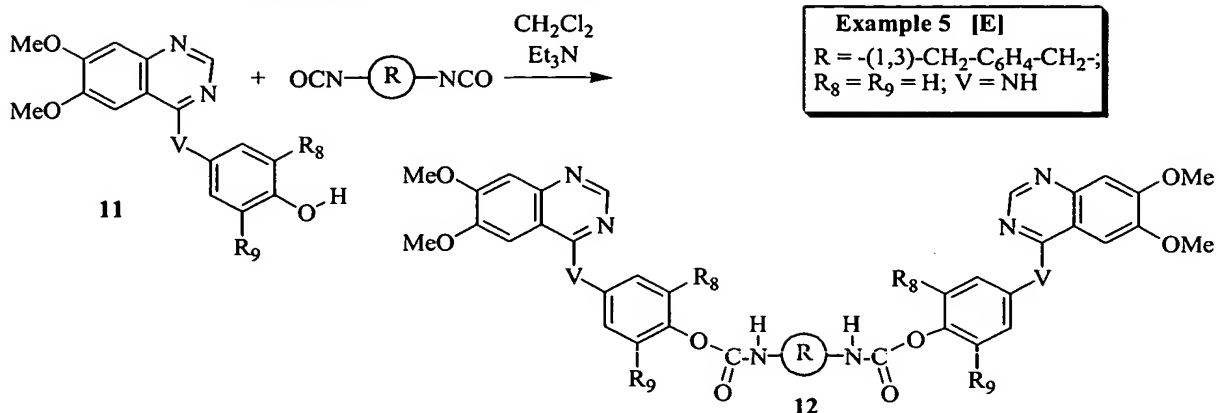
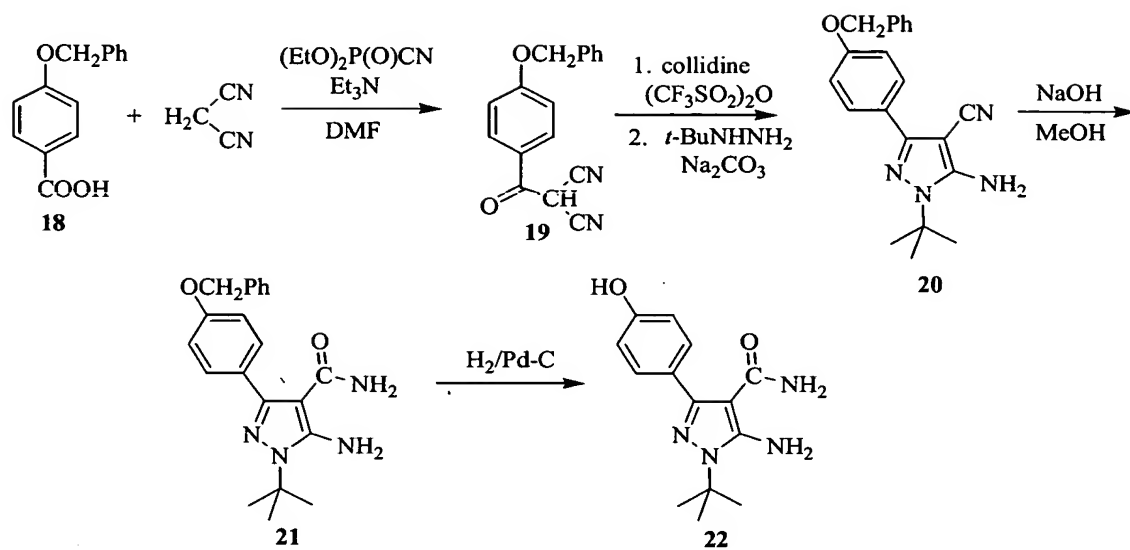


FIGURE 3

Preparation 1



Example 8 [H]

$\text{R} = -(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $\text{R}_{17} = -\text{C}(\text{CH}_3)_3$;
 $\text{R}_{18} = \text{R}_{19} = \text{H}$

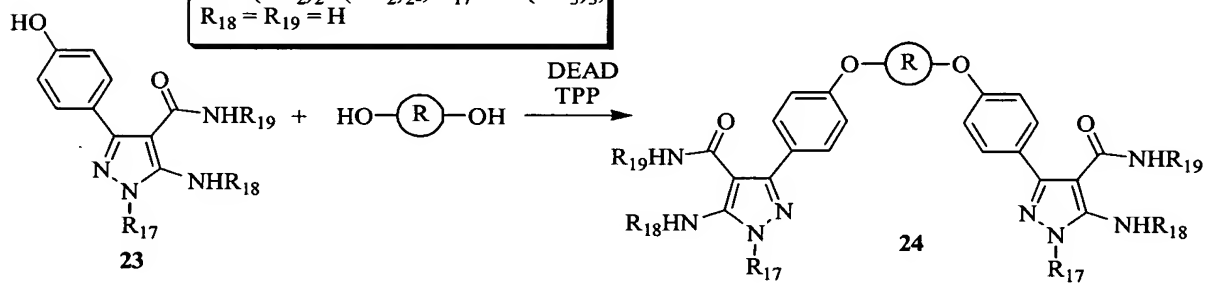


FIGURE 4

Example 9 [B+I]

$R = -(\text{CH}_2)_3\text{C}(\text{O})[\text{NH}(\text{CH}_2)_3\text{C}(\text{O})]_4\text{NH}(\text{CH}_2)_3-$;
 $R_1 = \text{H}$; $R_{21} = 5\text{-Me}$; $\text{Ar}_1 = -\text{C}_6\text{H}_3-(2,6)\text{-Cl}_2$; $\text{W} = \text{N}$; $\text{Y} = \text{CH}$

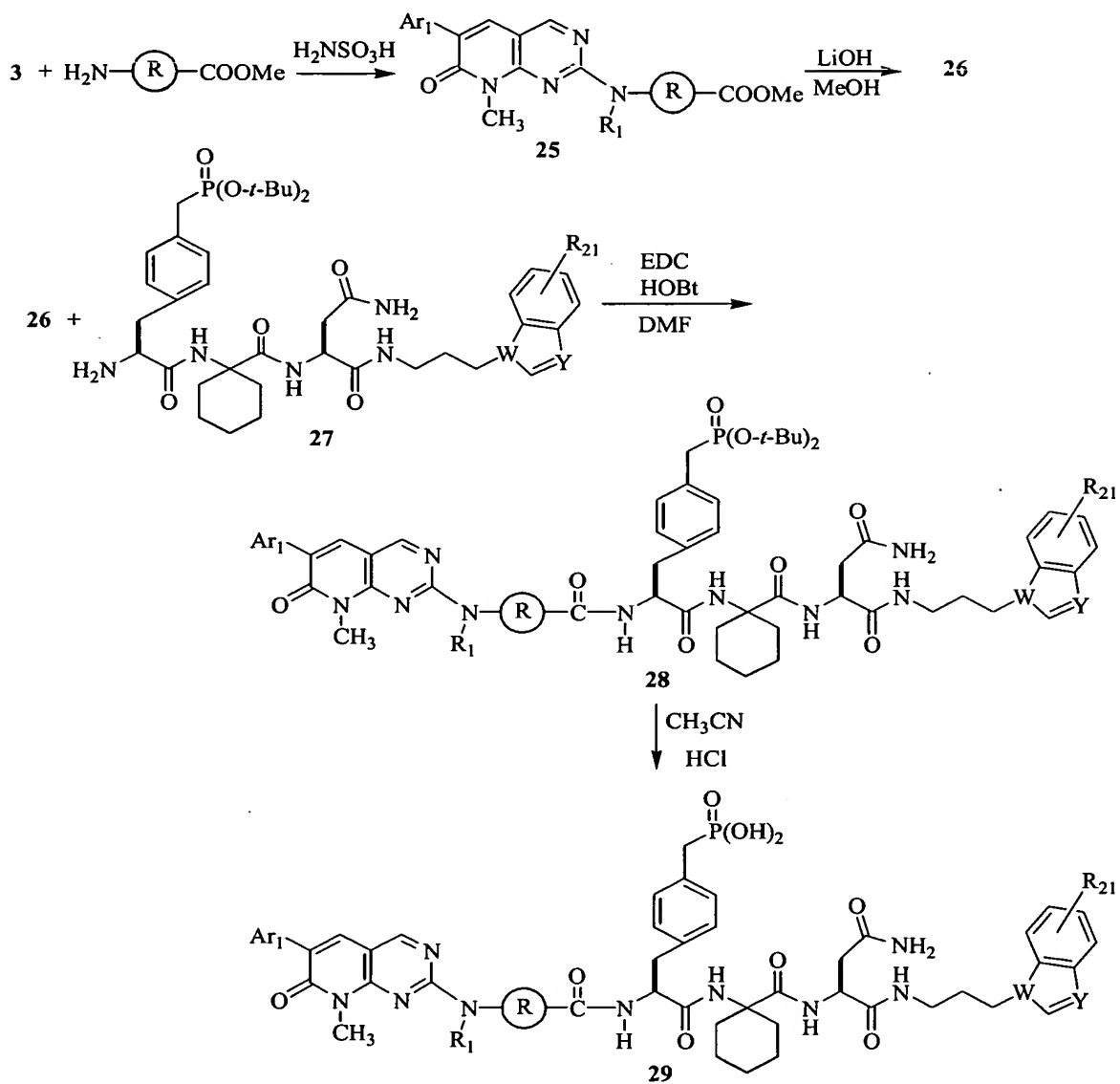
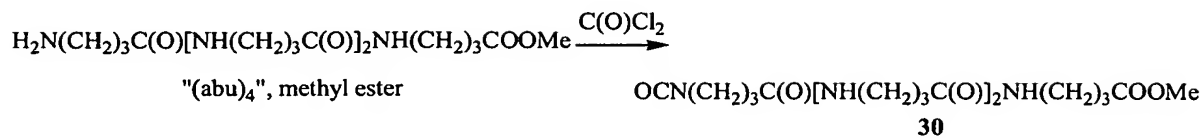


FIGURE 5

Preparation 2



Example 10 [C+I]

$\text{R} = -(\text{CH}_2)_3\text{C}(\text{O})[\text{NH}(\text{CH}_2)_3\text{C}(\text{O})]_2\text{NH}(\text{CH}_2)_3-$; $\text{R}_3 = \text{R}_4 = \text{H}$;
 $\text{R}_5 = -\text{C}(\text{CH}_3)_3$; $\text{R}_{21} = 5\text{-Me}$; $\text{W} = \text{N}$; $\text{Y} = \text{CH}$

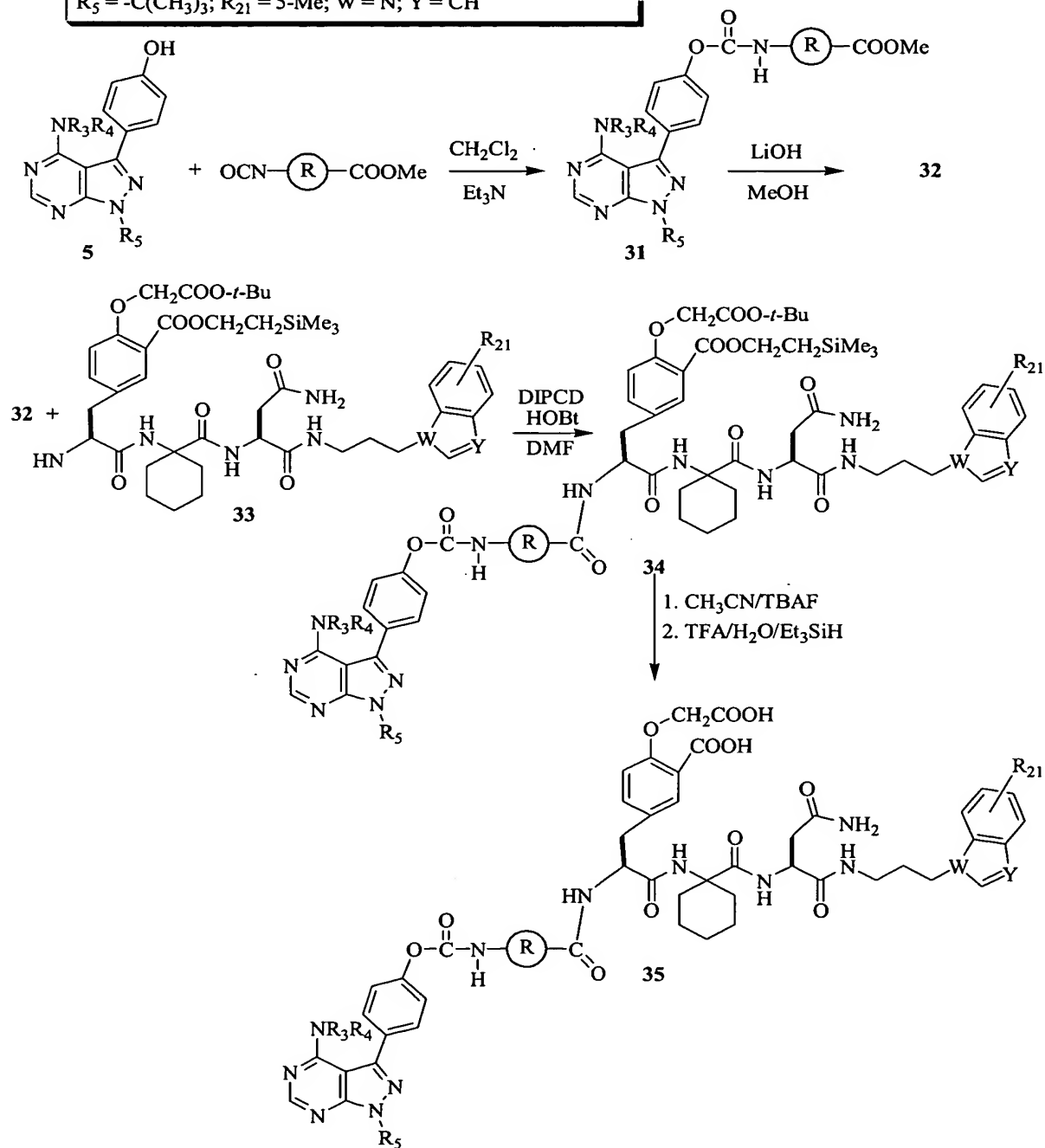
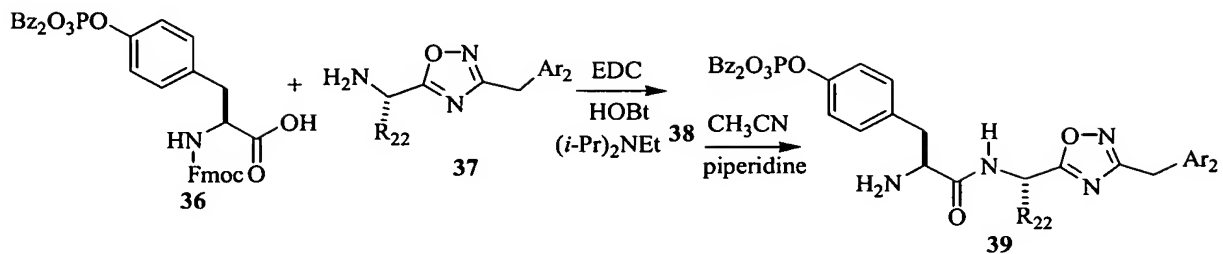


FIGURE 6

Preparation 3



Example 11 [E+L]

$\text{R} = -(\text{CH}_2)_3\text{C}(\text{O})[\text{NH}(\text{CH}_2)_3\text{C}(\text{O})]_2\text{NH}(\text{CH}_2)_3-$; $\text{R}_8 =$
 $\text{R}_9 = -\text{H}$; $\text{R}_{22} = -\text{CH}_3$; $\text{Ar}_2 = -\text{C}_6\text{H}_4-(p)\text{-CF}_3$, $\text{V} = \text{NH}$

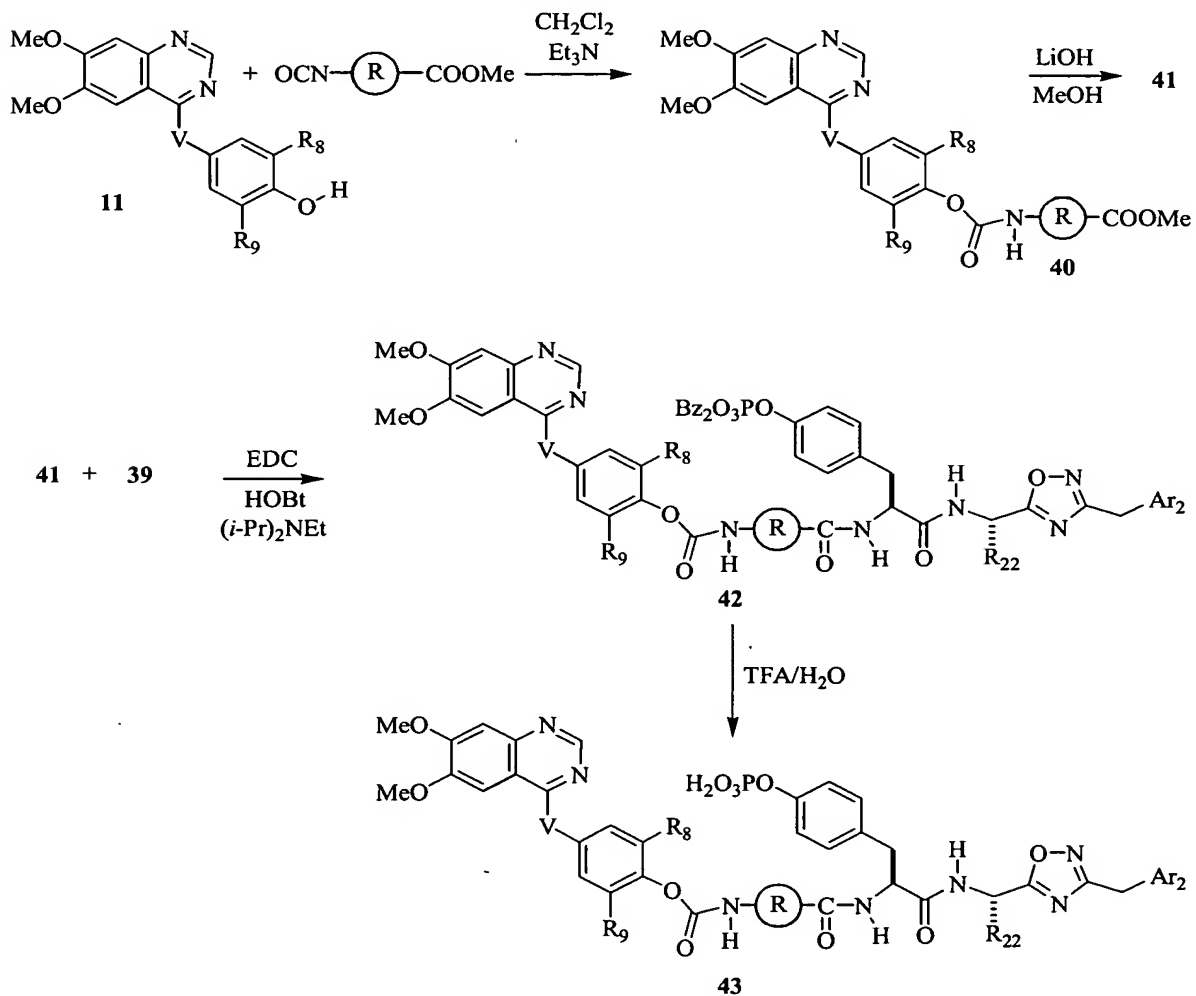
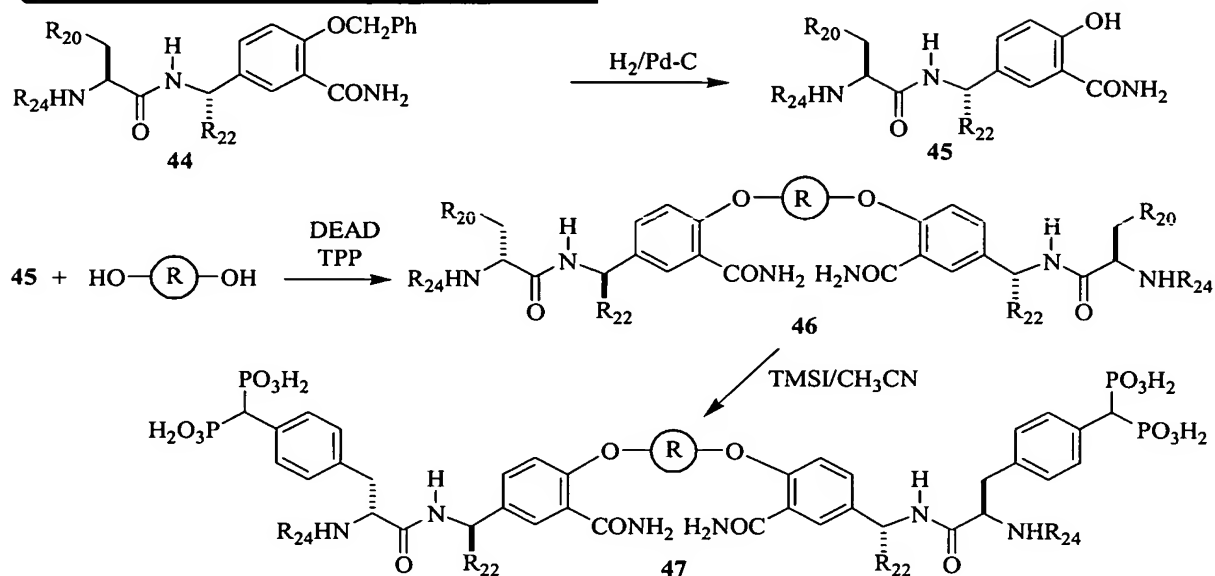
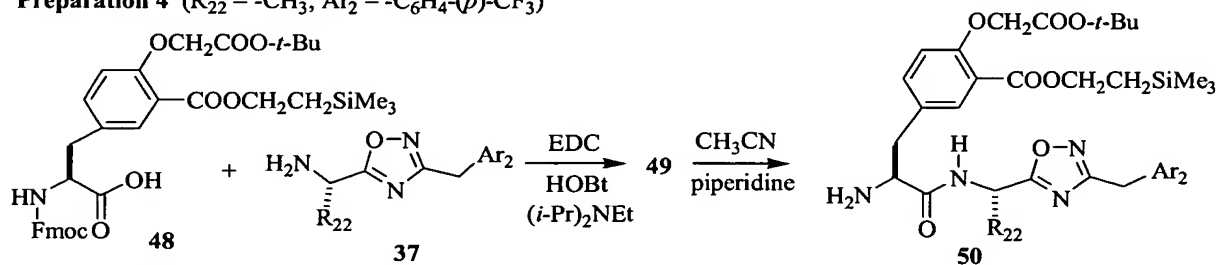


FIGURE 7

Example 12 [M]

$R = (trans)\text{-}1,4\text{-CH}_2\text{-(cyclo)-C}_6\text{H}_{10}\text{CH}_2\text{-}$; $R_{20} = \text{-C}_6\text{H}_4\text{-(p)-CH(PO}_3\text{Et}_2\text{)}_2$; $R_{22} = \text{-CH}_3$; $R_{24} = \text{-COCH}_3$

**Preparation 4** ($R_{22} = \text{-CH}_3$; $\text{Ar}_2 = \text{-C}_6\text{H}_4\text{-(p)-CF}_3$)**Example 13 [L]**

$R = \text{-(CH}_2\text{)}_{18}\text{-}$; $R_{22} = \text{-CH}_3$; $\text{Ar}_2 = \text{-C}_6\text{H}_4\text{-(p)-CF}_3$

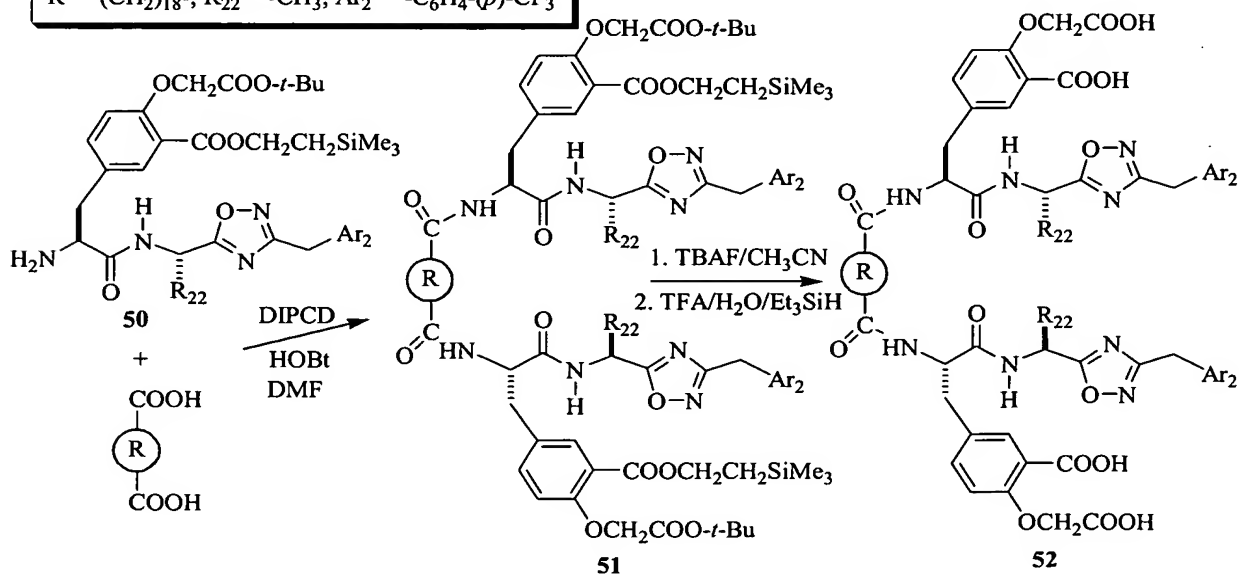
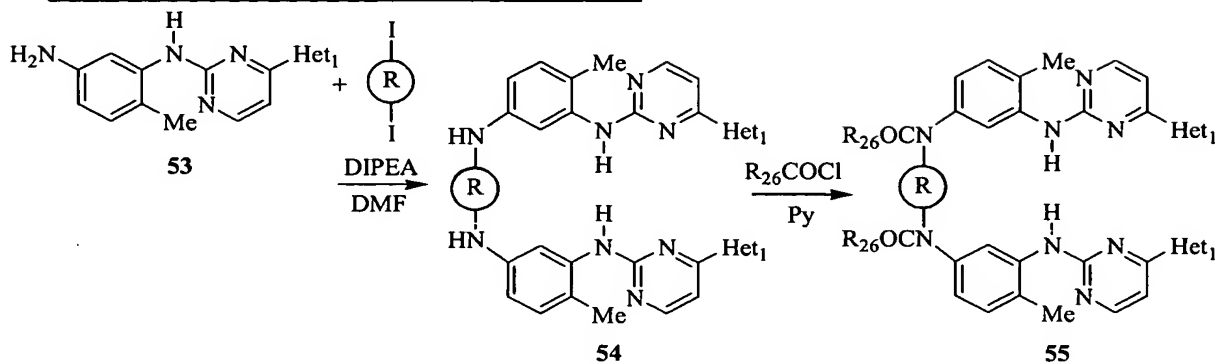


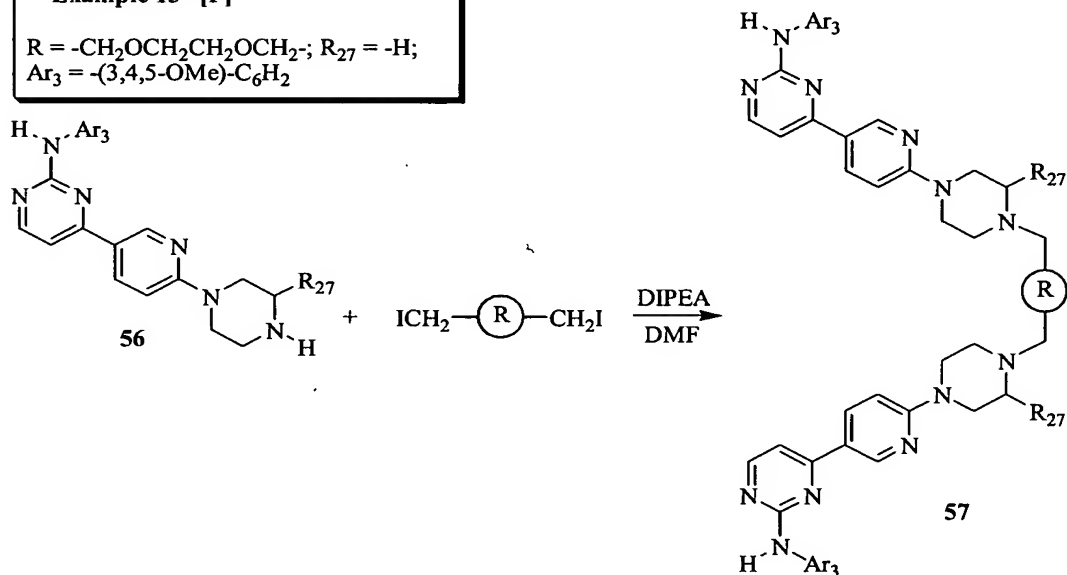
FIGURE 8

Example 14 [O]

$R = -(CH_2)_8-$; $R_{26} = -C_6H_4-(4)-CH_2N(CH_2CH_2)_2NCH_3$;
 $Het_1 = -(3)-C_5H_4N$

**Example 15 [P]**

$R = -CH_2OCH_2CH_2OCH_2-$; $R_{27} = -H$;
 $Ar_3 = -(3,4,5-OMe)-C_6H_2$

**Example 16 [Q]**

$R = -CH_2CH_2OCH_2CH_2-$

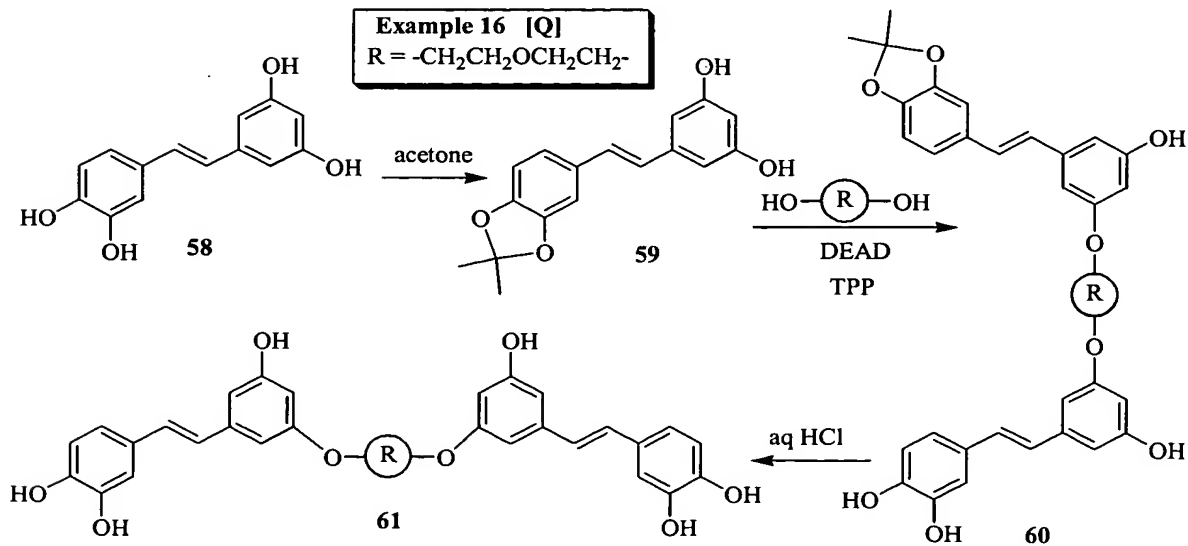


FIGURE 9

Example 17 [F+Q]
 $R = -(CH_2)_4-$; $R_{10} = H$; $R_{11} = -NH_2$; $R_{12} = R_{13} = Br$

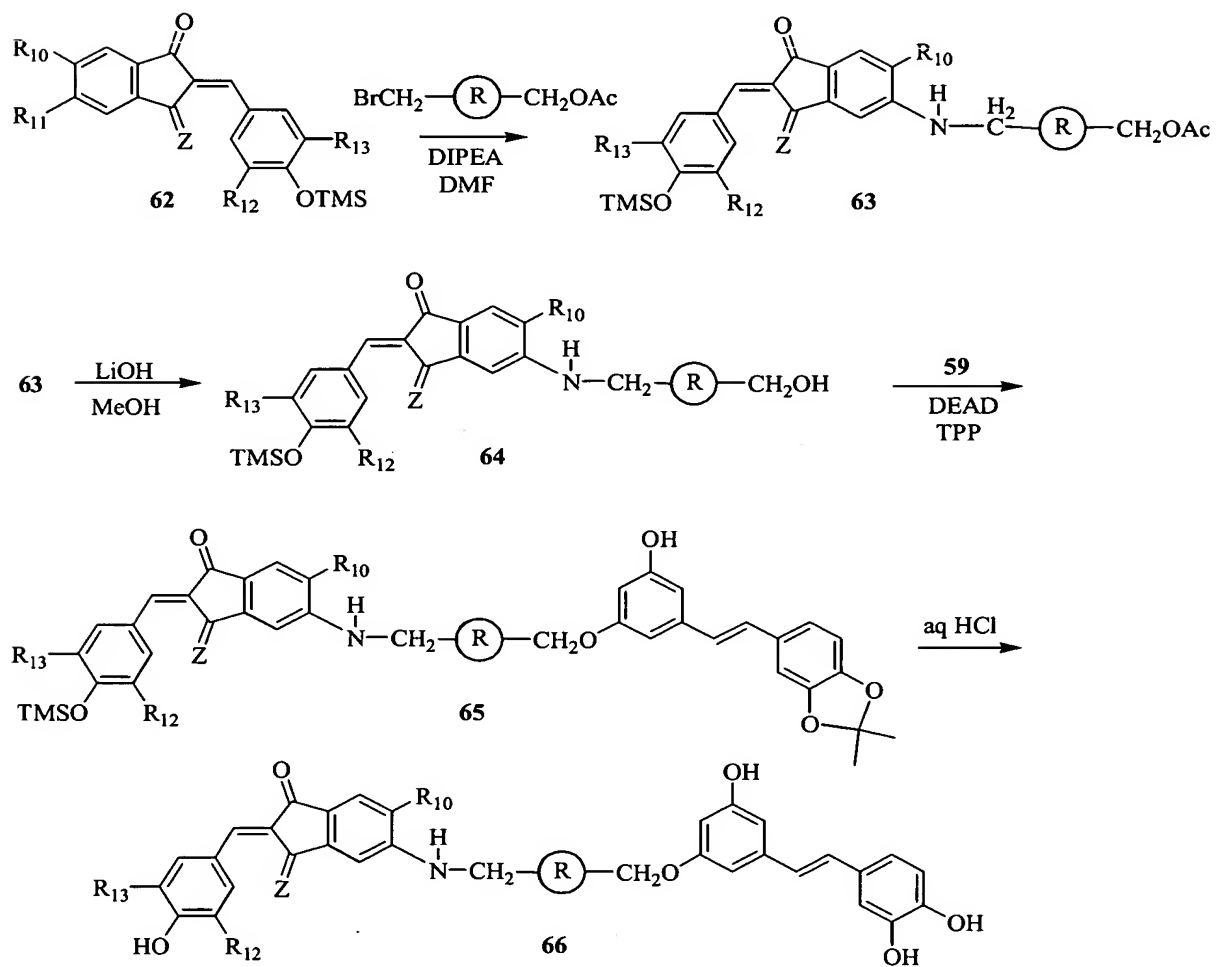
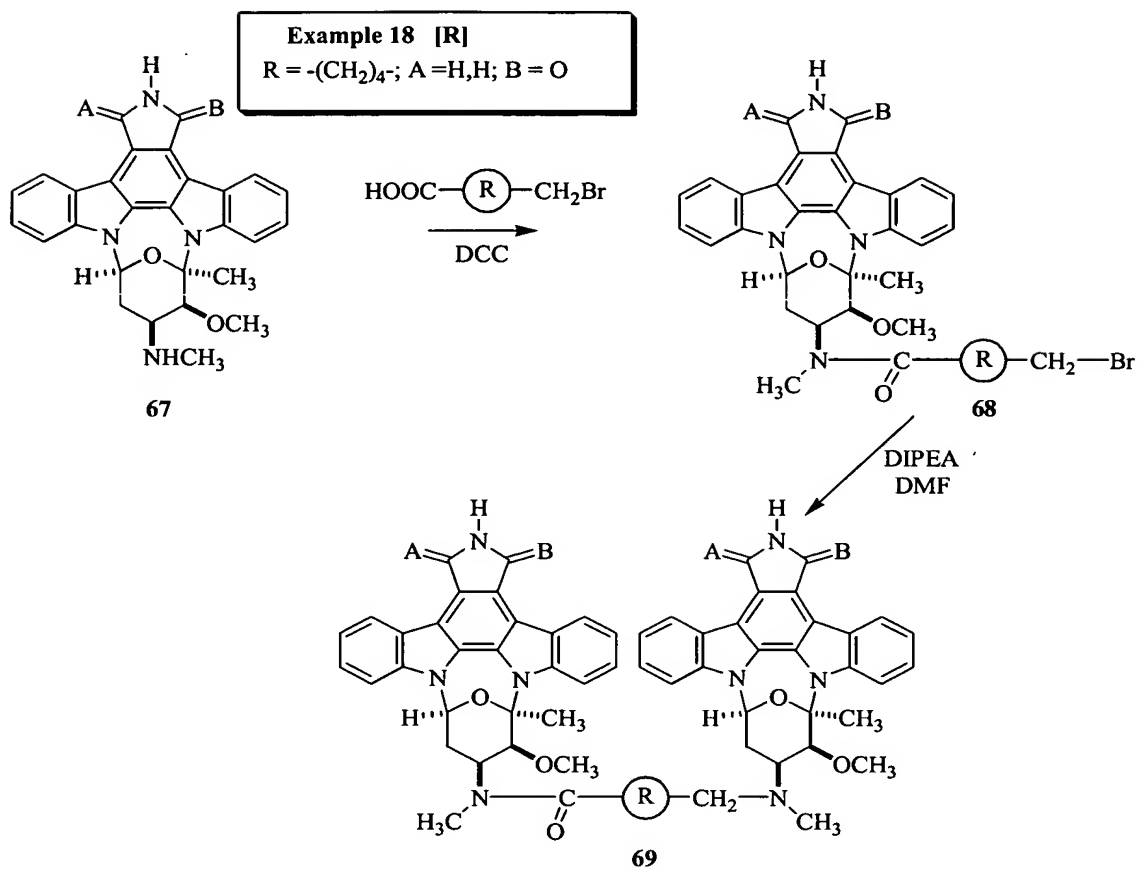


FIGURE 10



Example 19 [R]
 $R = -(1,4)-C_6H_4-$; $A = H, H$; $B = O$

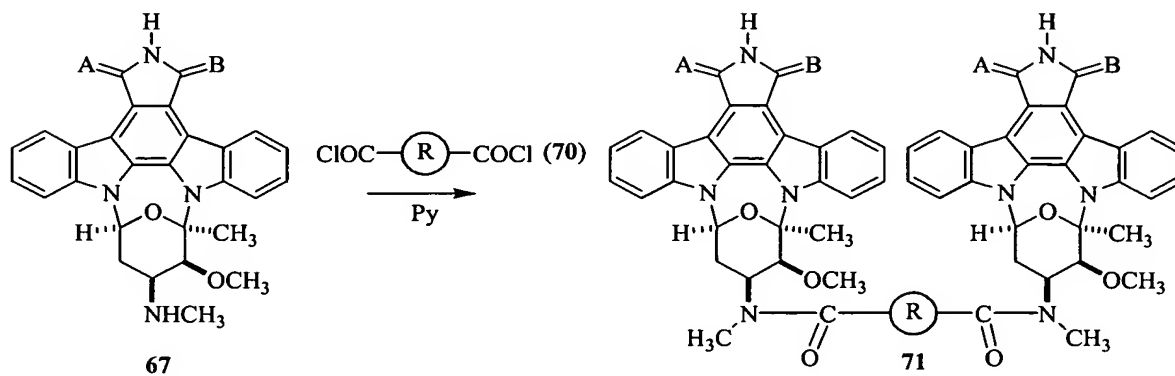
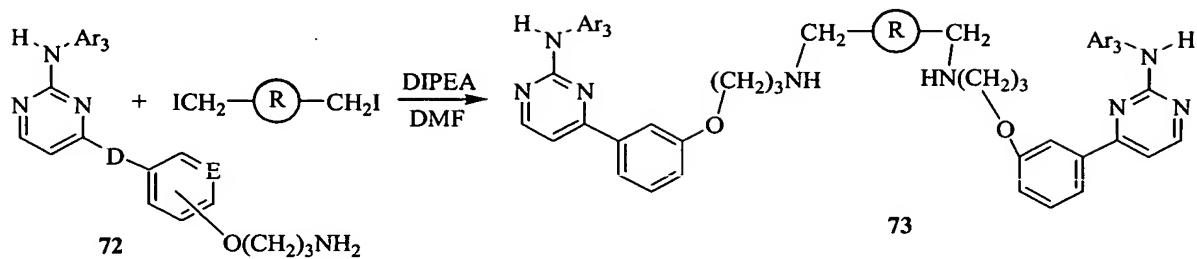


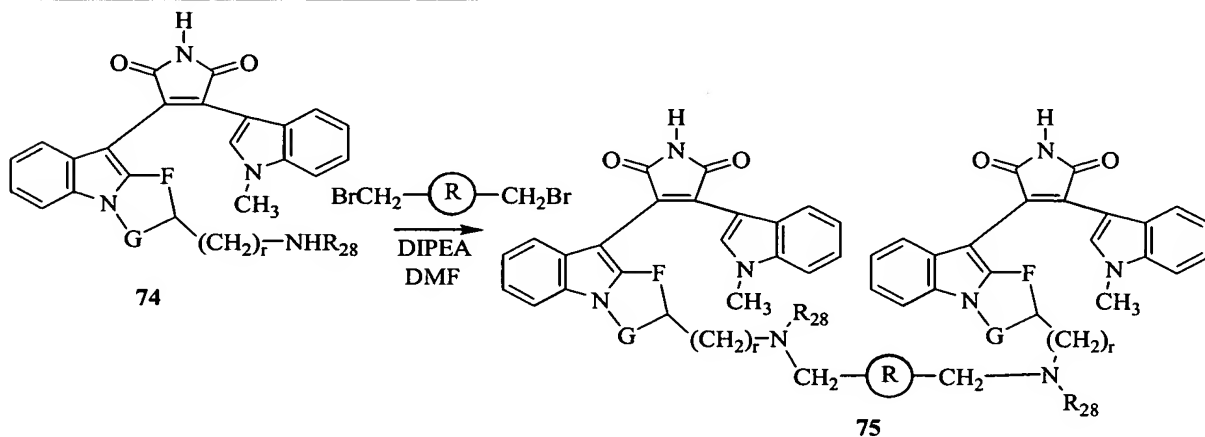
FIGURE 11

Example 20 [S]

R = -CH₂-; D = single bond; E = CH;
 -O(CH₂)₃NH- is attached at C-3;
 Ar₃ = -(3,4,5-OMe)-C₆H₂

**Example 21 [T]**

R = -CH₂OCH₂-; R₂₈ = H; F = -CH₂-;
 G = -CH₂CH₂-; r = 1

**Example 22 [U]**

R = -CH₂OCH₂-; R₂₉ = 2-(C₆H₅O)-pyrimidin-4-yl

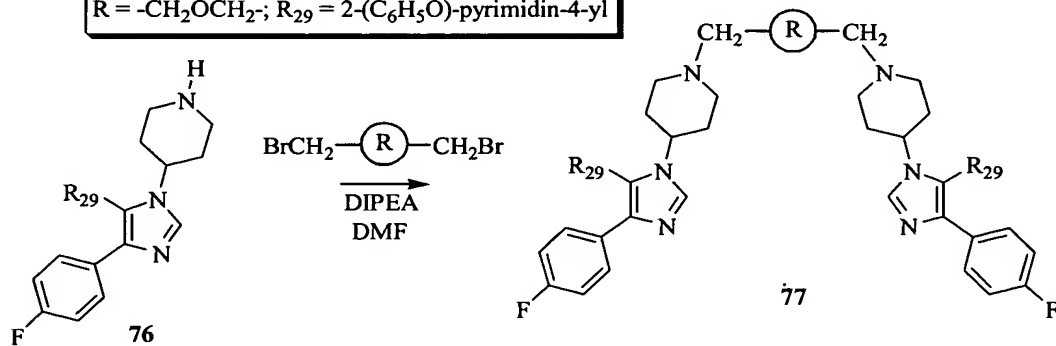
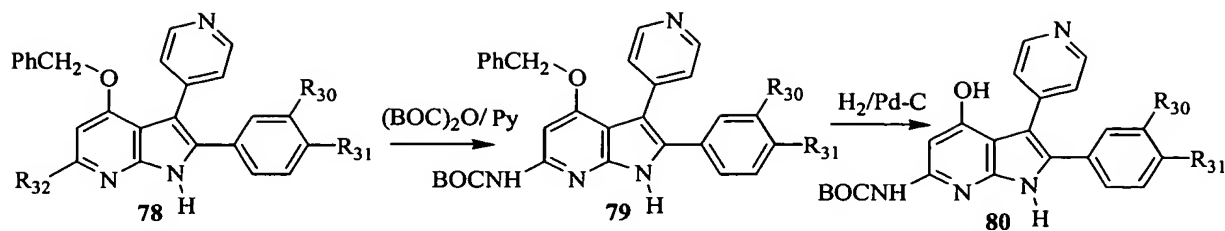


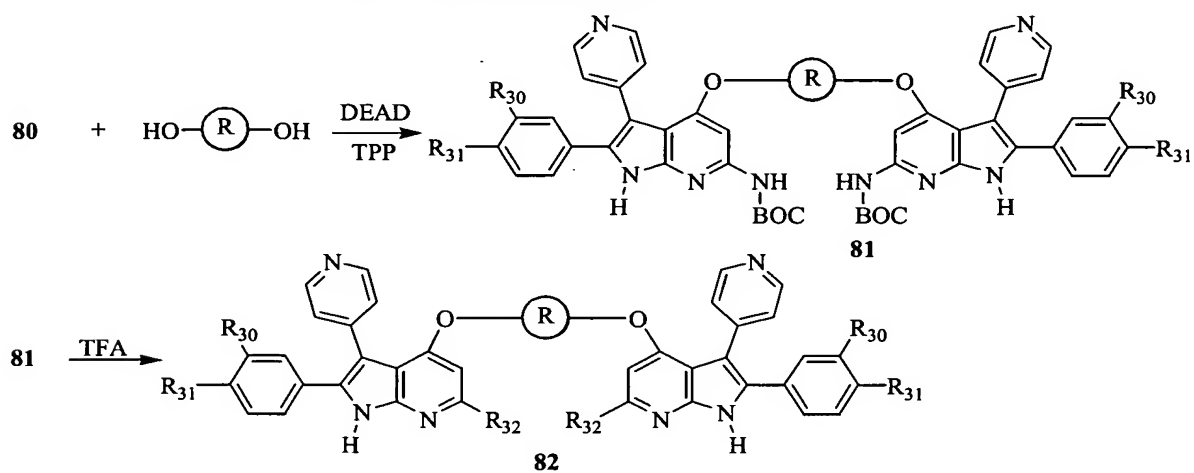
FIGURE 12

Preparation 5



Example 23 [V]

$\text{R} = -(1,4)\text{-CH}_2\text{C}_6\text{H}_4\text{CH}_2-$; $\text{R}_{30} = \text{H}$; $\text{R}_{31} = \text{F}$; $\text{R}_{32} = -\text{NH}_2$



Example 24 [W]

$\text{R} = -(\text{CH}_2)-$; $\text{R}_{33} = -(2,6)\text{-Cl}_2\text{C}_6\text{H}_3$

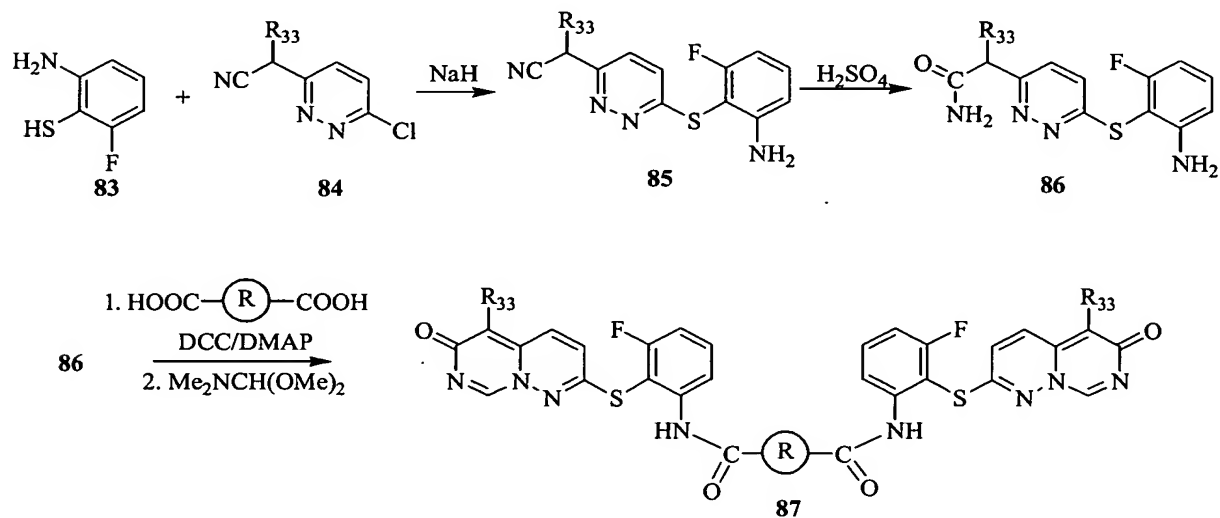


FIGURE 13

Preparation 6

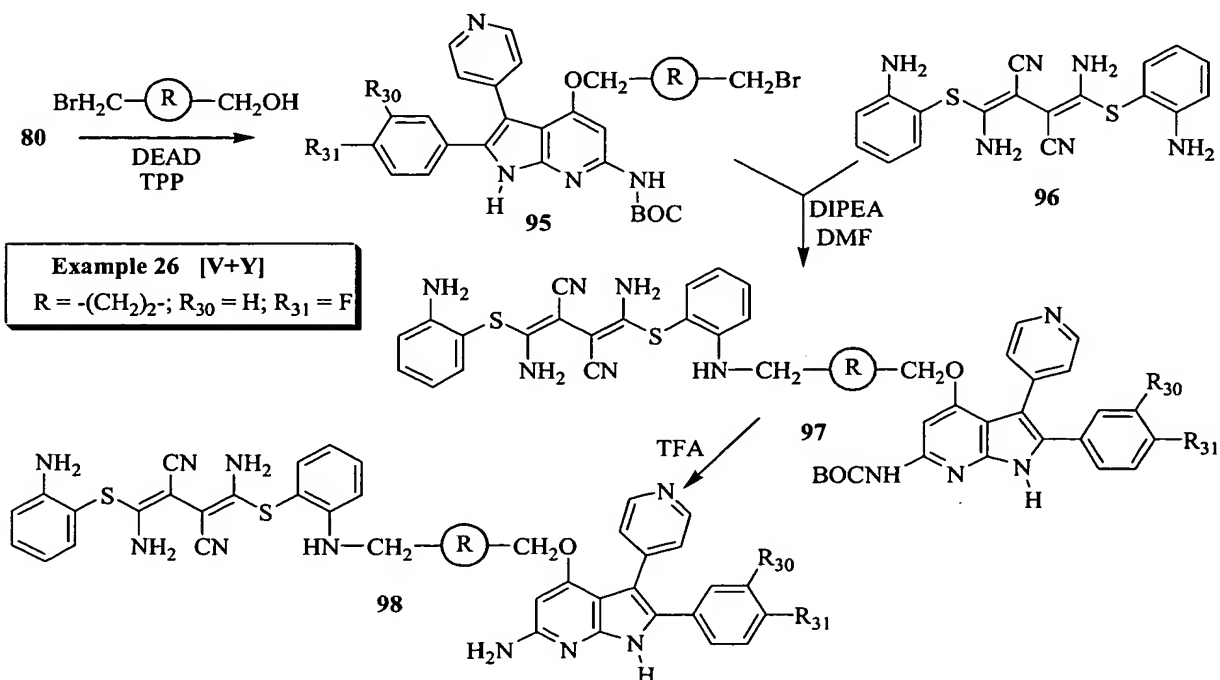
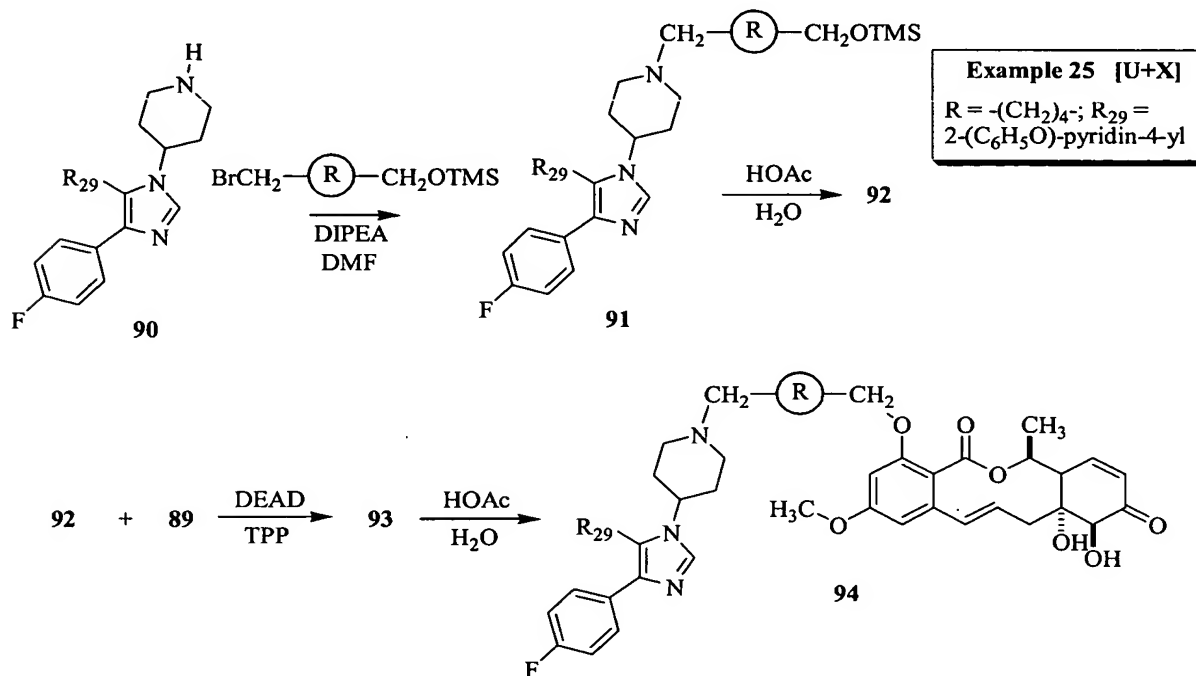
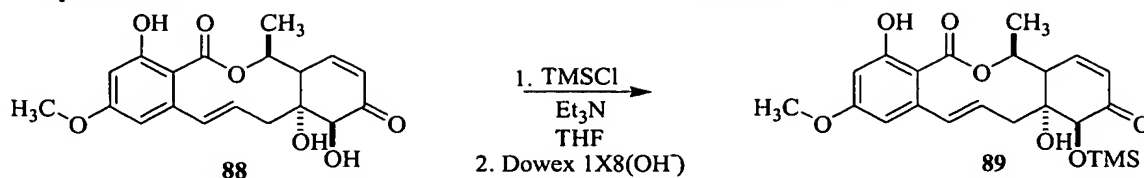
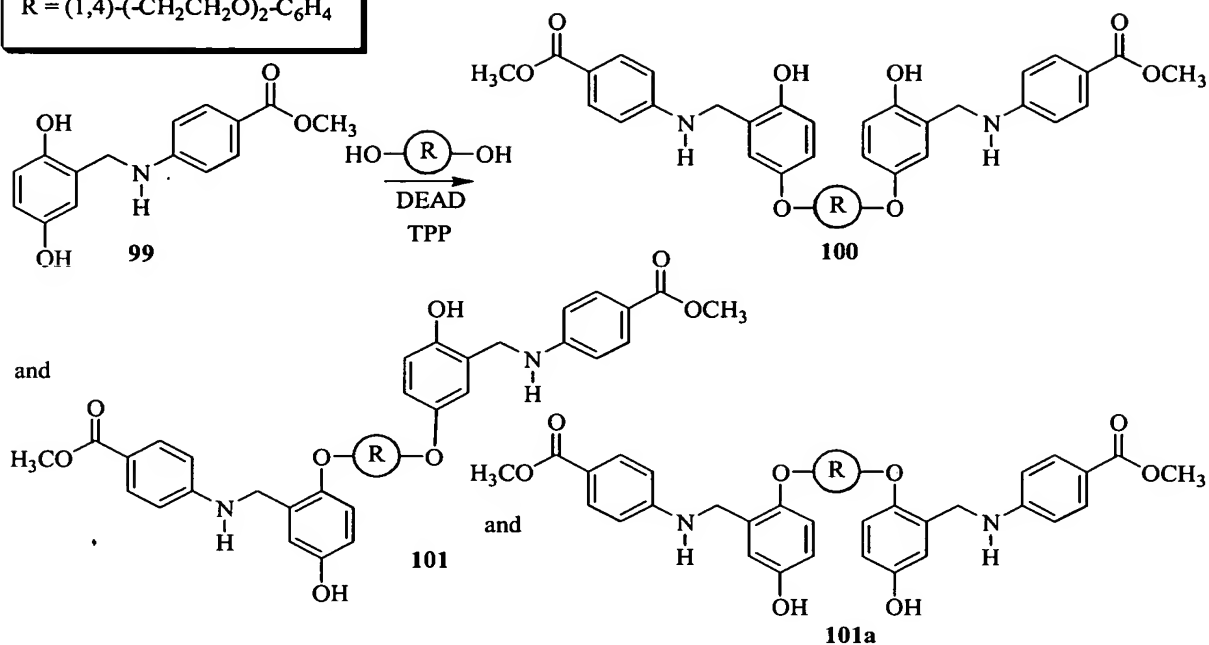


FIGURE 14

Example 27 [N]
 $R = (1,4)\text{-(}-\text{CH}_2\text{CH}_2\text{O)-}_2\text{-C}_6\text{H}_4$



Example 28 [N+O]

$R = \text{-(CH}_2\text{)}_5\text{-}$; $R_{26} = \text{-C}_6\text{H}_4\text{-(4)-CH}_2\text{N(CH}_2\text{CH}_2\text{)}_2\text{NCH}_3$;
 $\text{Het}_1 = \text{-(3)-C}_5\text{H}_4\text{N}$

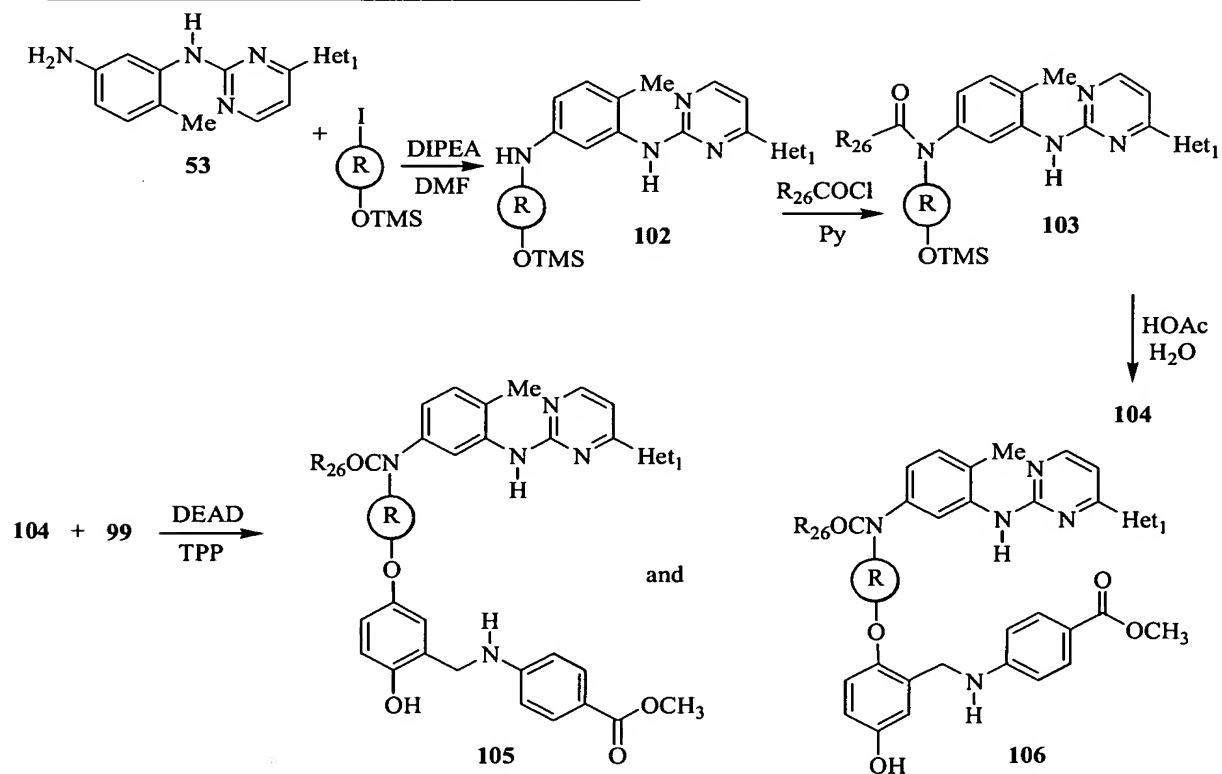
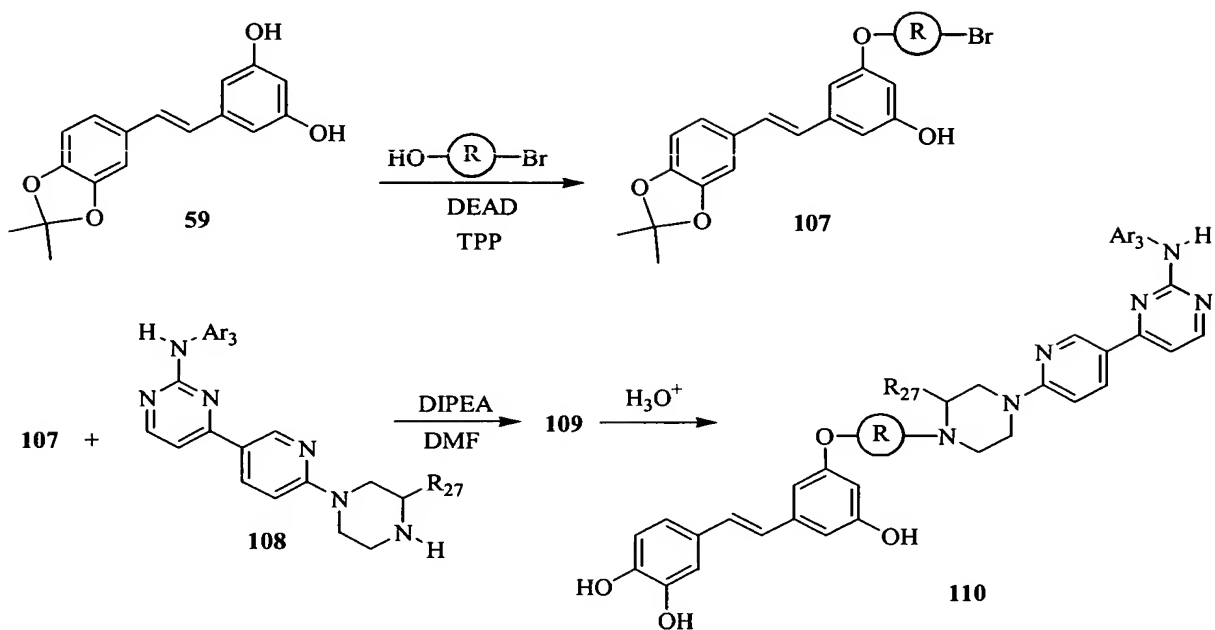


FIGURE 15

Example 29 [N+P]

$R = -CH_2CH_2OCH_2CH_2OCH_2CH_2-$; $R_{27} = -CH_3$;
 $Ar_3 = -(3,4,5-OMe)-C_6H_2$

**Example 30 [U+Z]**

$R = -(CH_2)_4-$; $R_{29} = 2-(NHMe)-4$ -pyrimidinyl; $R_{34} = Cl$; $R_{35} = I$;
 $R_{36} = R_{37} = F$; $R_{38} = H$

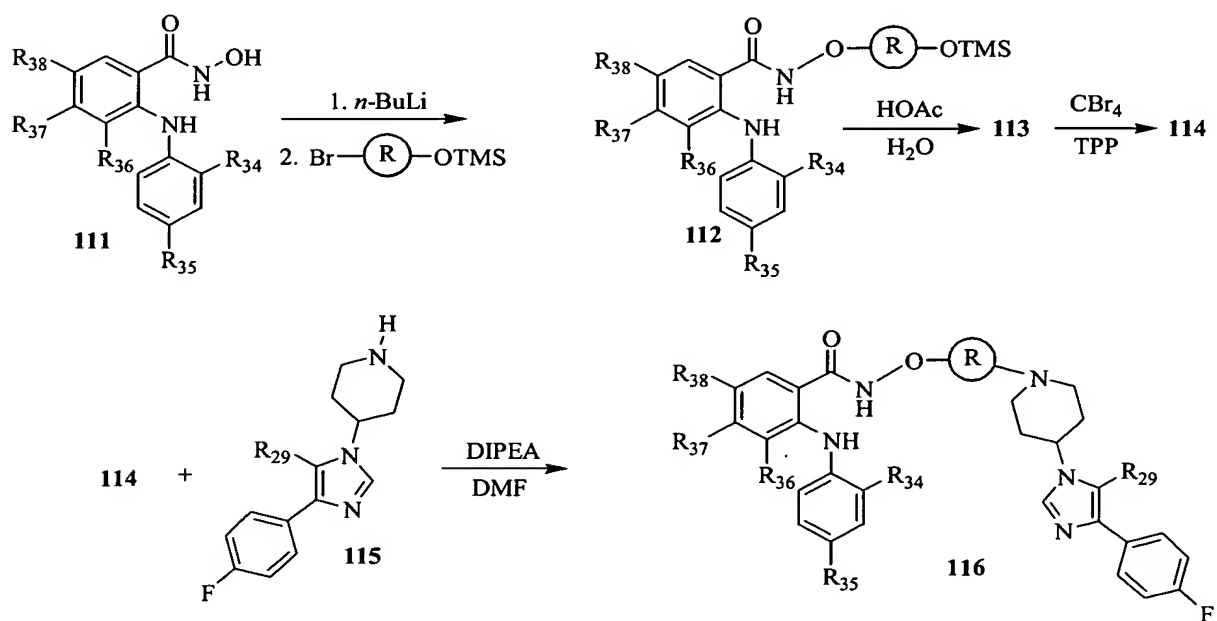


FIGURE 16

Example 31 [AA]
R = 1,3-C₆H₄

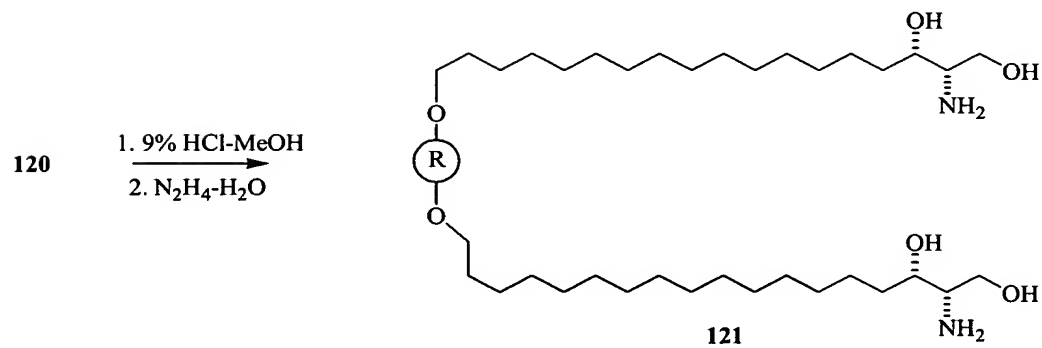
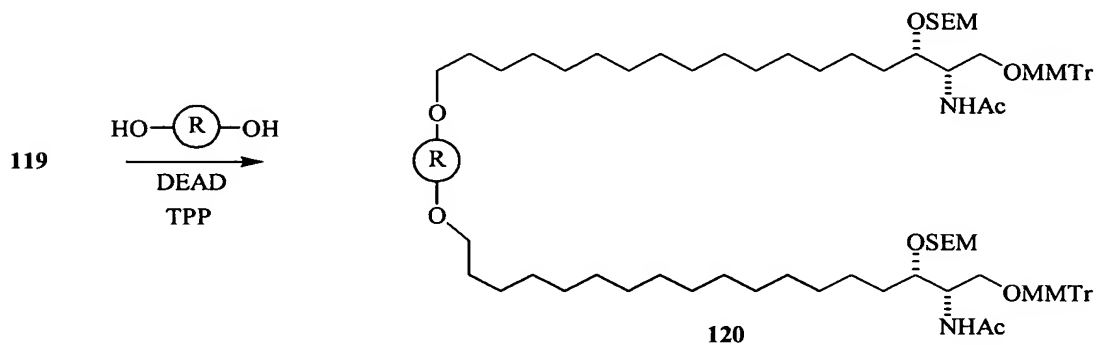
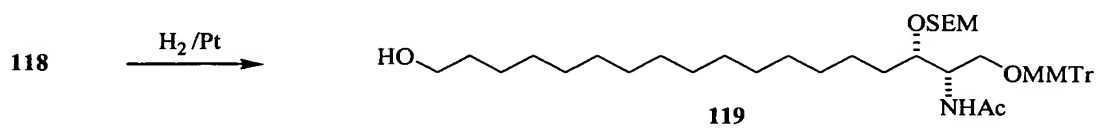
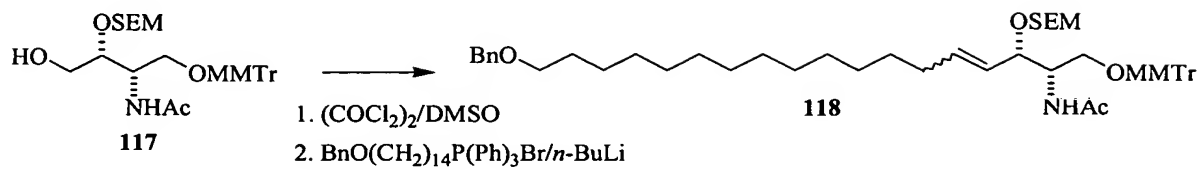


FIGURE 17

Example 32 [K+O]

$R = -(CH_2)_5-$; $R_{26} = -C_6H_4-(4)-CH_2N(CH_2CH_2)_2NCH_3$;
 $Het_1 = -(3)-C_5H_4N$

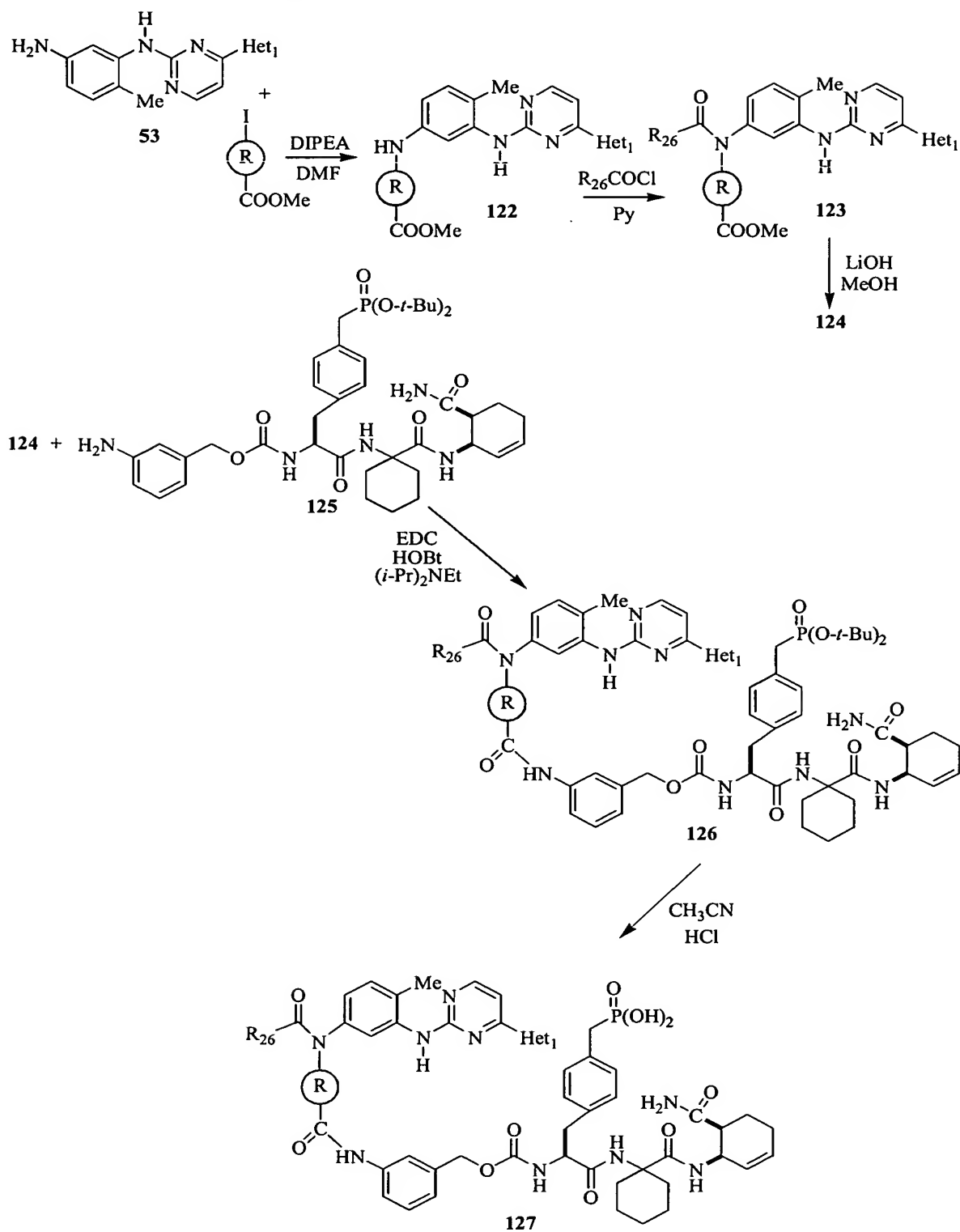


FIGURE 18

Example 33 [L+P]
 $R = -CH_2OCH_2CH_2OCH_2-$; $R_{22} = -CH_3$;
 $R_{27} = -H$; $Ar_2 = -C_6H_4(p)-CF_3$
 $Ar_3 = -(3,4,5-OMe)-C_6H_2$

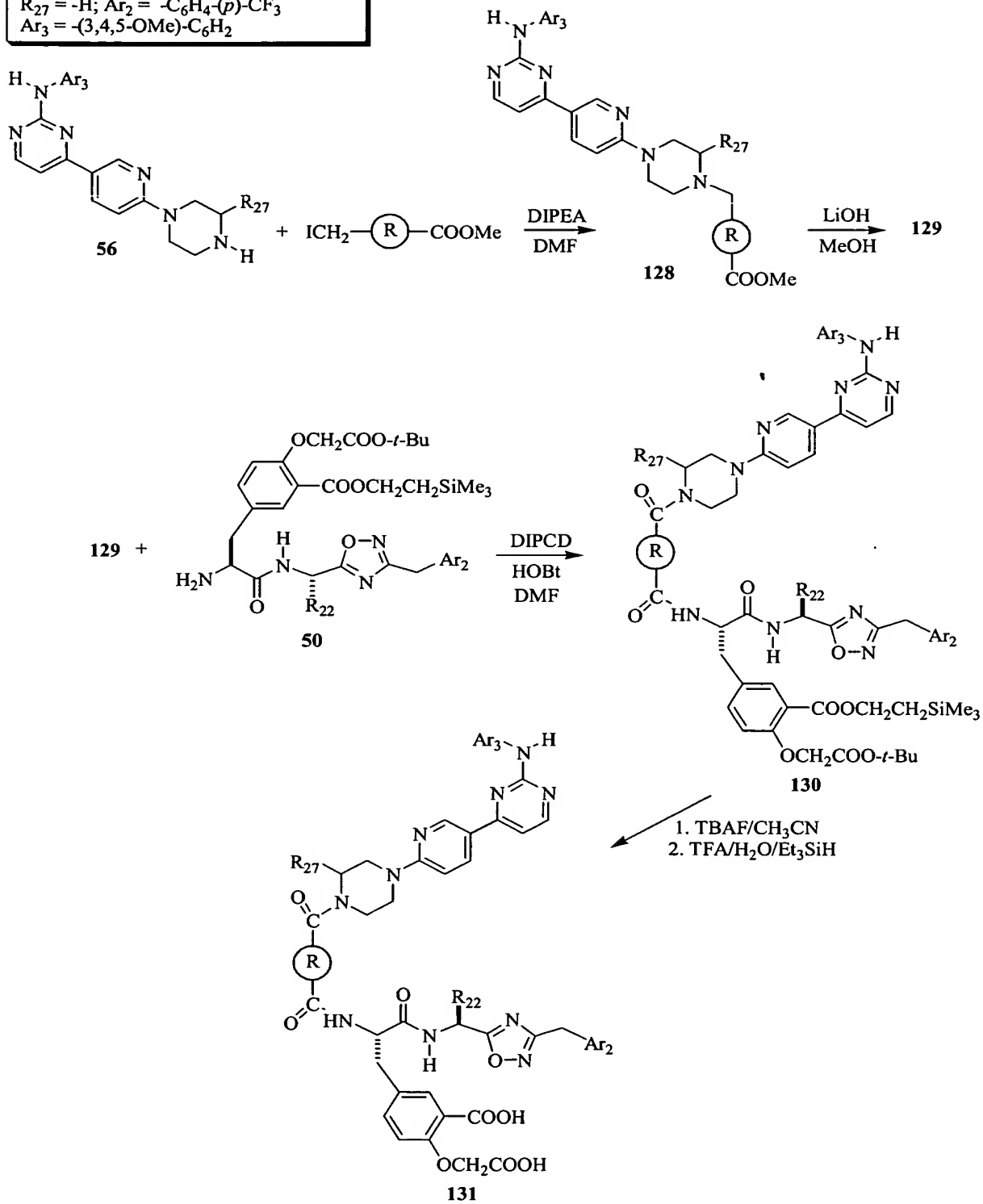
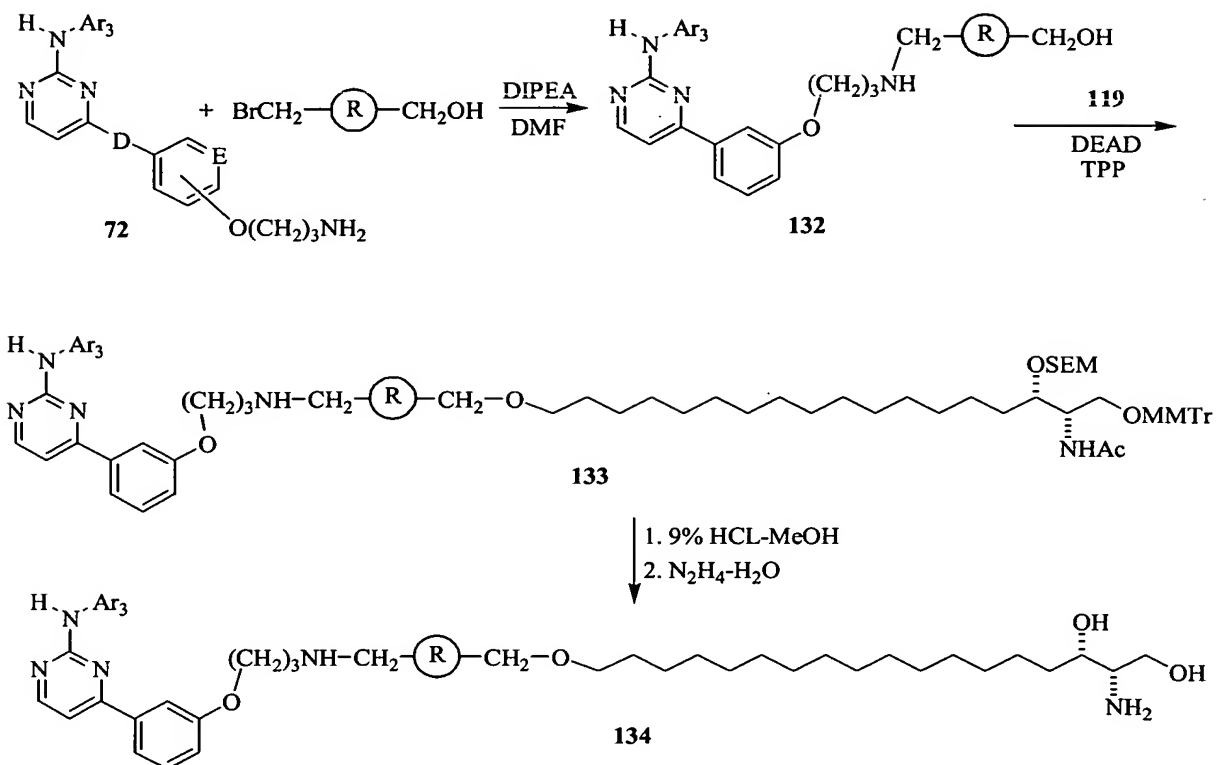


FIGURE 19

Example 34 [S+AA]R = -CH₂-; D = single bond; E = CH;-O(CH₂)₃NH- is attached at C-3;Ar₃ = -(3,4,5-OMe)-C₆H₂

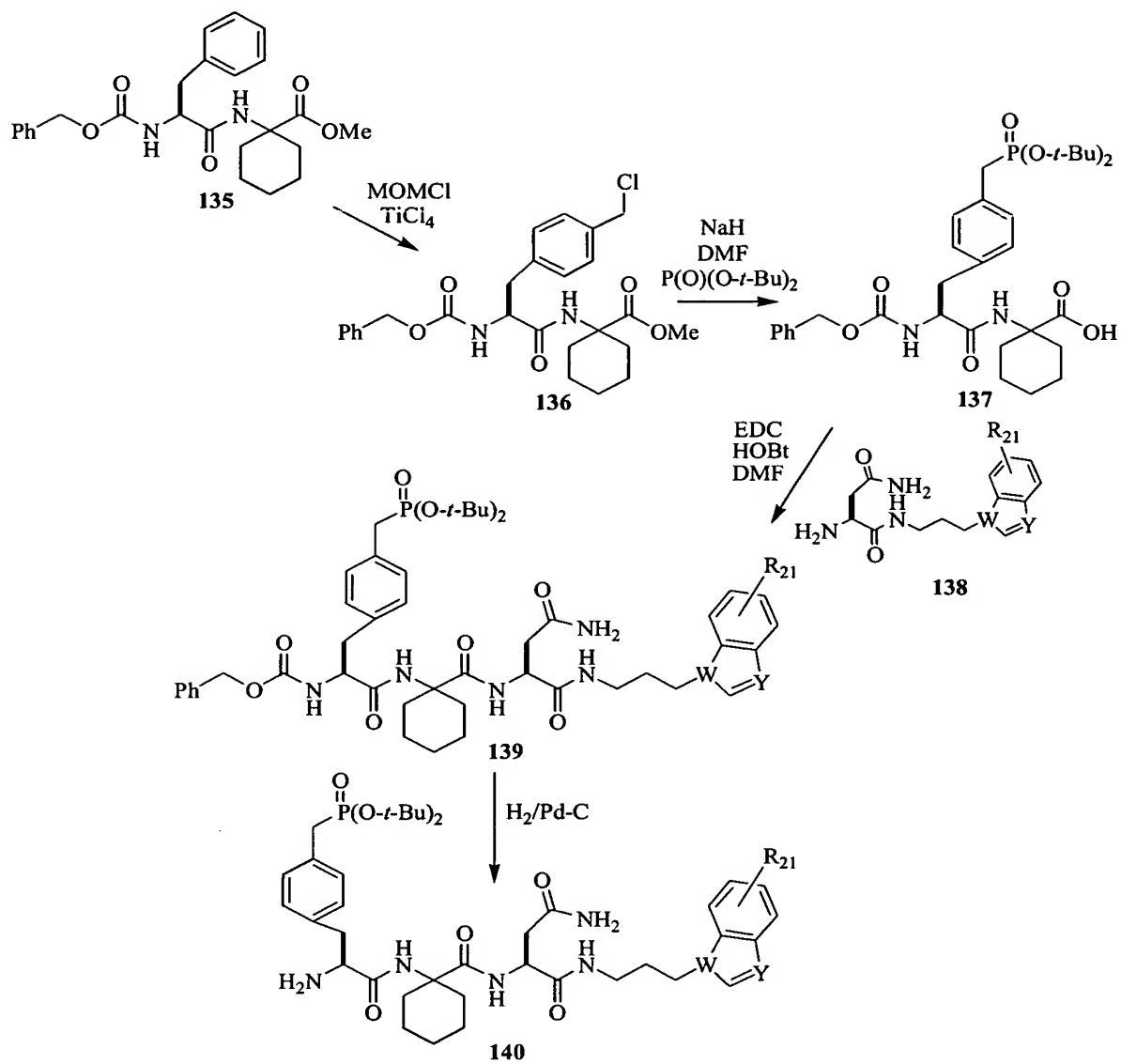
Preparation 8 $R_{21} = 5\text{-Me}$; $W = N$; $Y = CH$ **FIGURE 20**

FIGURE 21

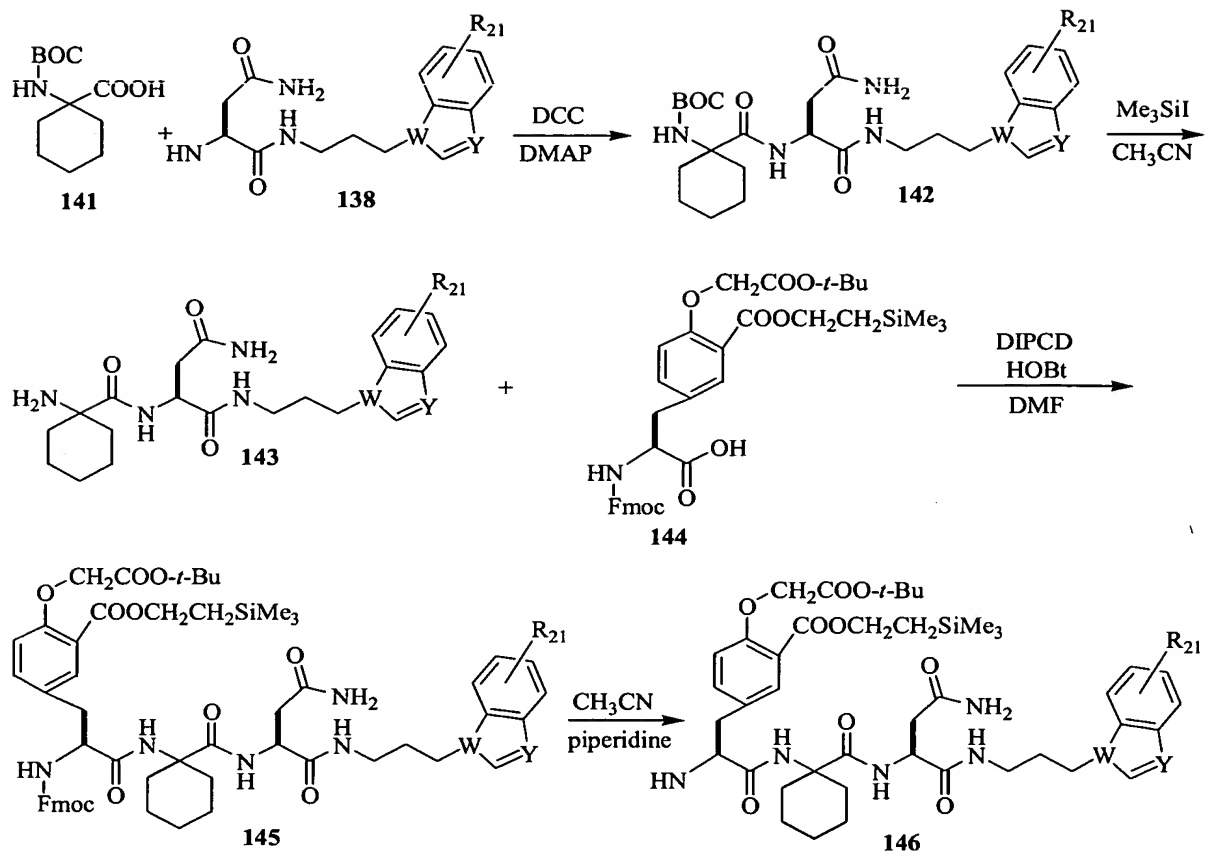
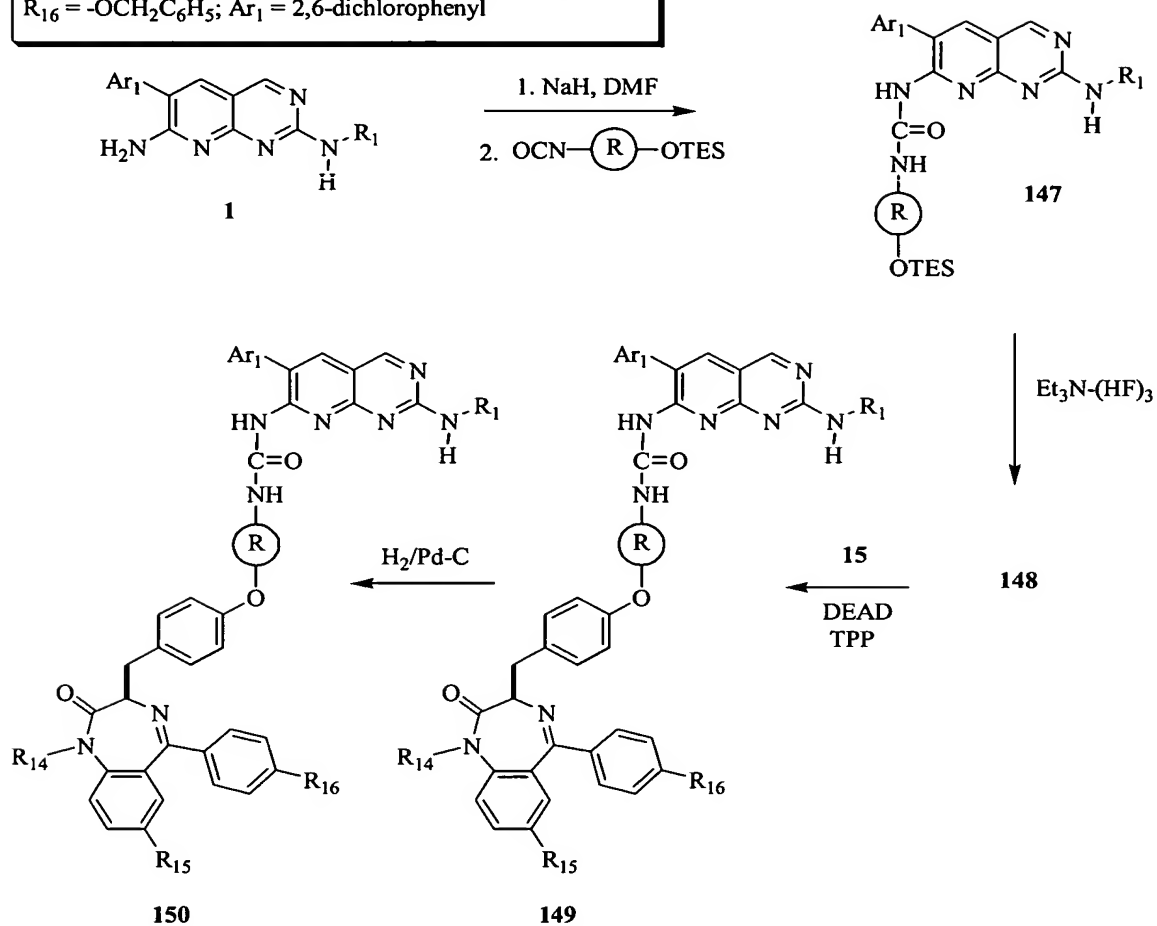
Preparation 9 $R_{21} = 5\text{-Me}$; $W = \text{N}$; $Y = \text{CH}$ 

FIGURE 22

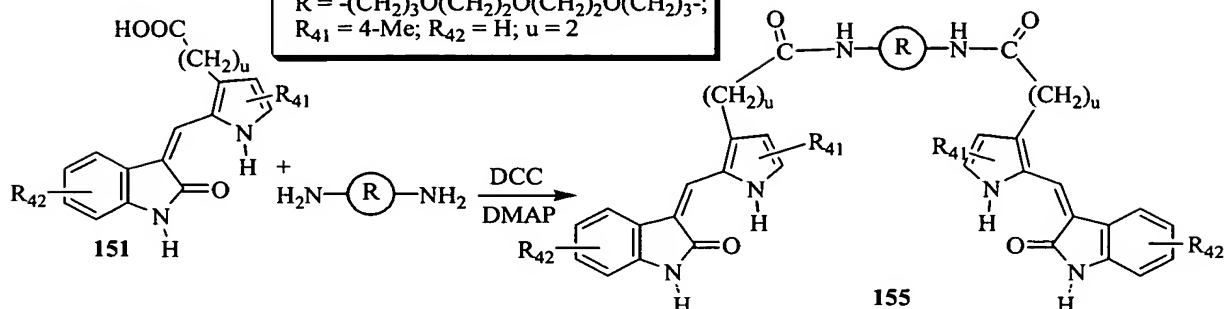
Example 35 [A + G]

R = $-(CH_2)_4-$; R₁ = H; R₁₄ = $-CH_2C_6H_4-(p)-C_6H_5$; R₁₅ = Cl;
 R₁₆ = $-OCH_2C_6H_5$; Ar₁ = 2,6-dichlorophenyl

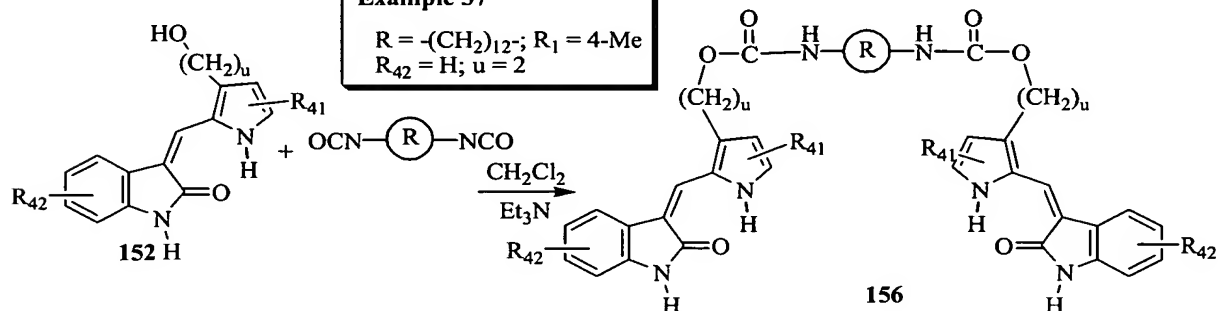


Example 36

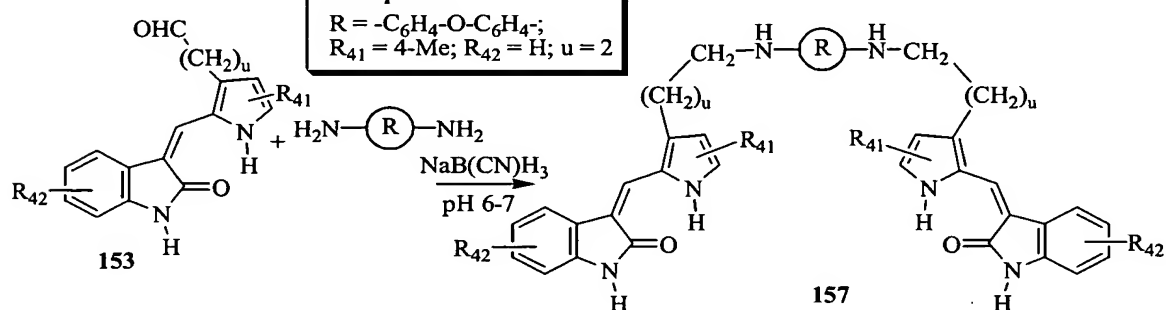
$R = -(CH_2)_3O(CH_2)_2O(CH_2)_2O(CH_2)_3-$;
 $R_{41} = 4\text{-Me}$; $R_{42} = H$; $u = 2$

**Example 37**

$R = -(CH_2)_{12}-$; $R_1 = 4\text{-Me}$
 $R_{42} = H$; $u = 2$

**Example 38**

$R = -C_6H_4-O-C_6H_4-$;
 $R_{41} = 4\text{-Me}$; $R_{42} = H$; $u = 2$

**Example 39**

$R = -(CH_2)_4-$; $R_{41} = 3,4\text{-diMe}$;
 $R_{42} = H$; $u = 2$

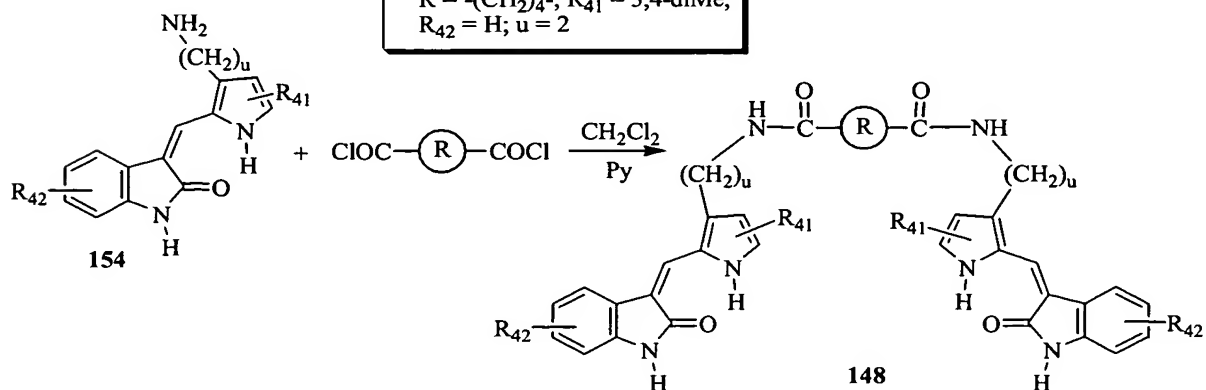
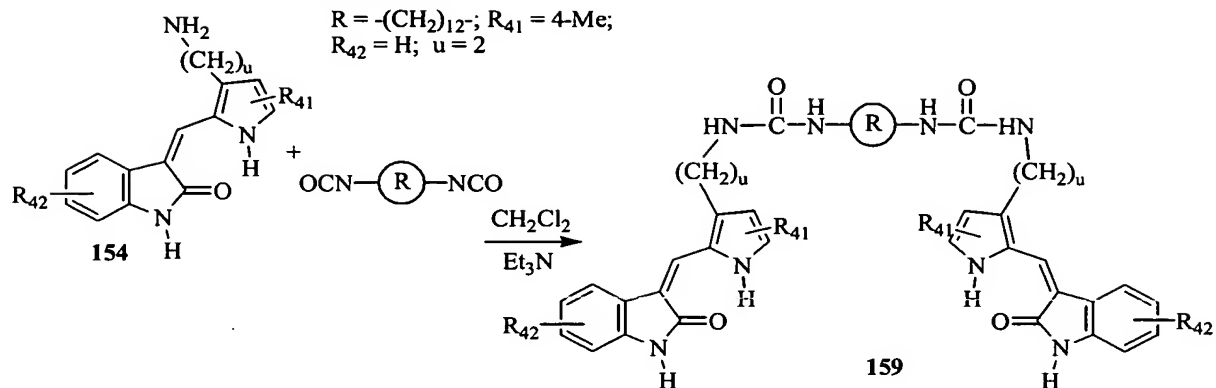


FIGURE 24

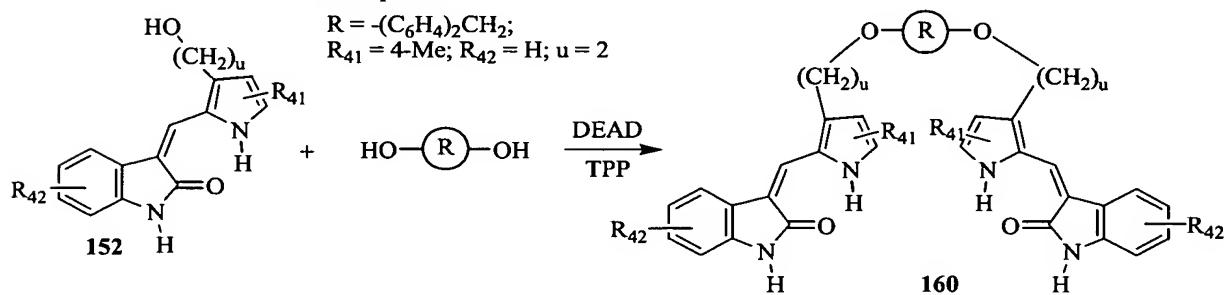
Example 40

$R = -(CH_2)_{12}-$; $R_{41} = 4\text{-Me}$;
 $R_{42} = H$; $u = 2$



Example 41

$R = -(C_6H_4)_2CH_2$;
 $R_{41} = 4\text{-Me}$; $R_{42} = H$; $u = 2$



Example 42

$R = -CH_2OCH_2CH_2OCH_2-$;
 $R_{44} = t\text{-Bu}$; $R_{45} = R_{46} = H$; $v = 4$

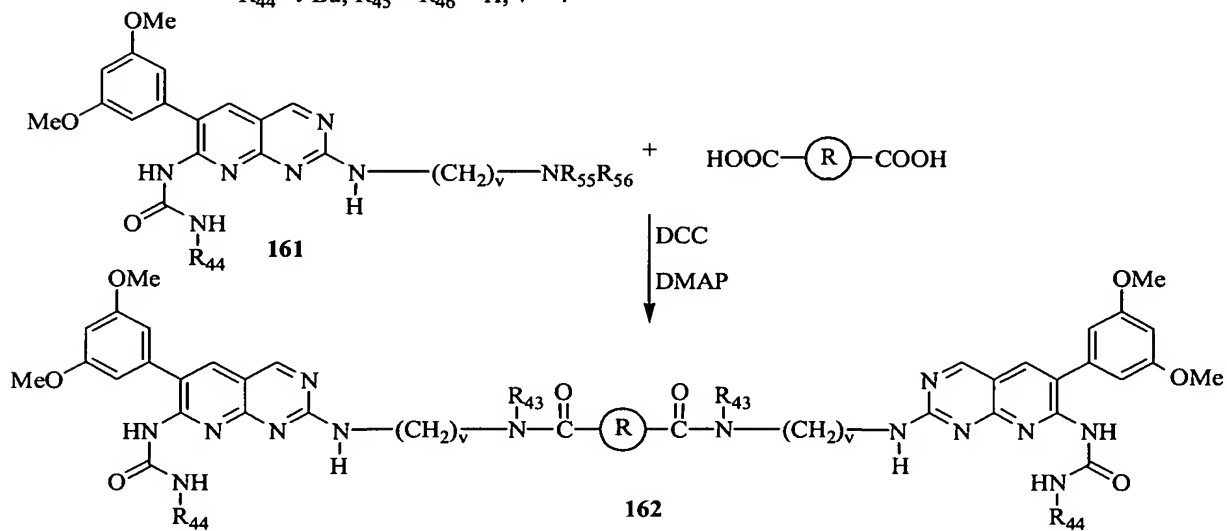


FIGURE 25

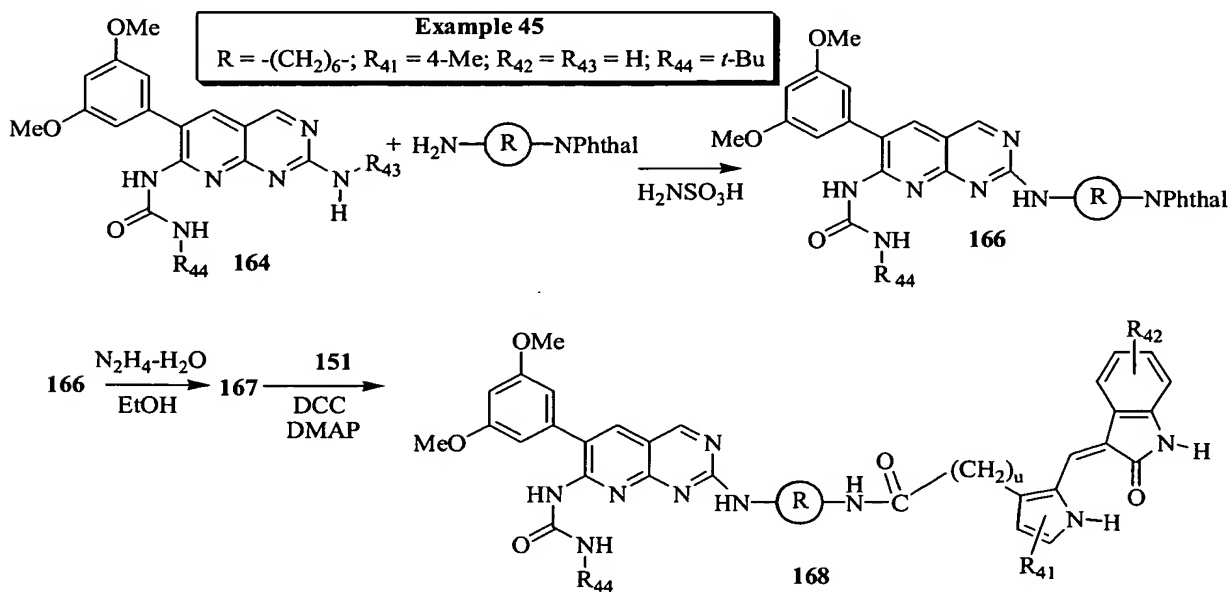
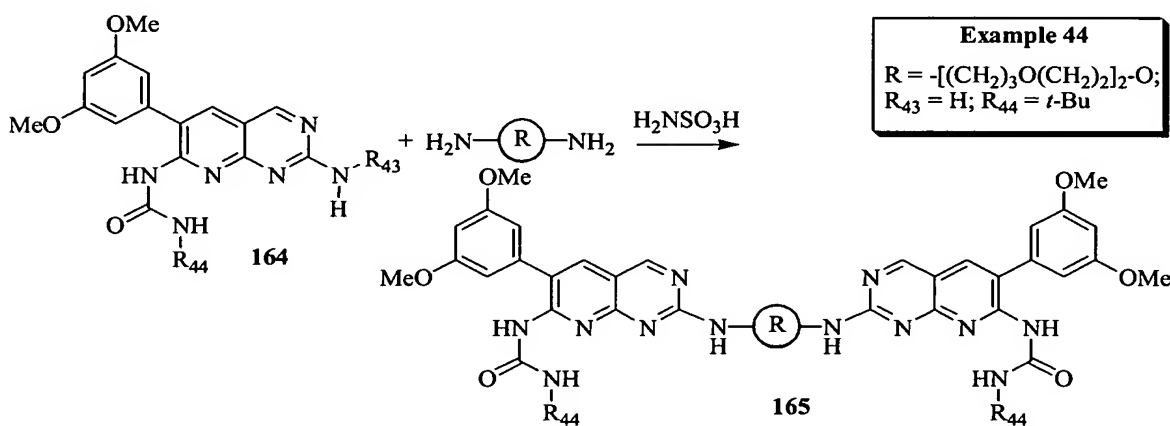
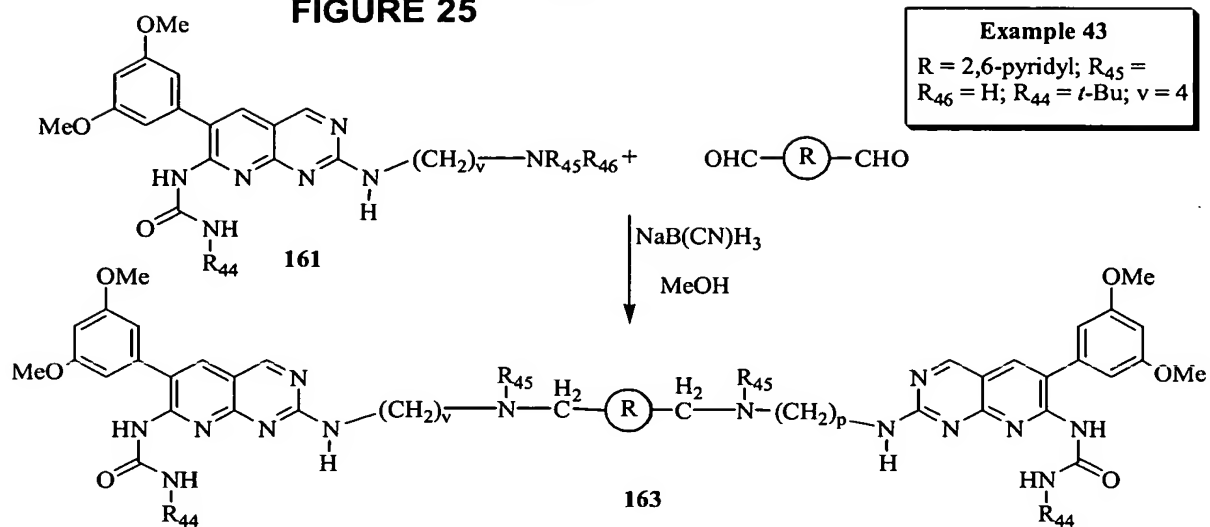


FIGURE 26

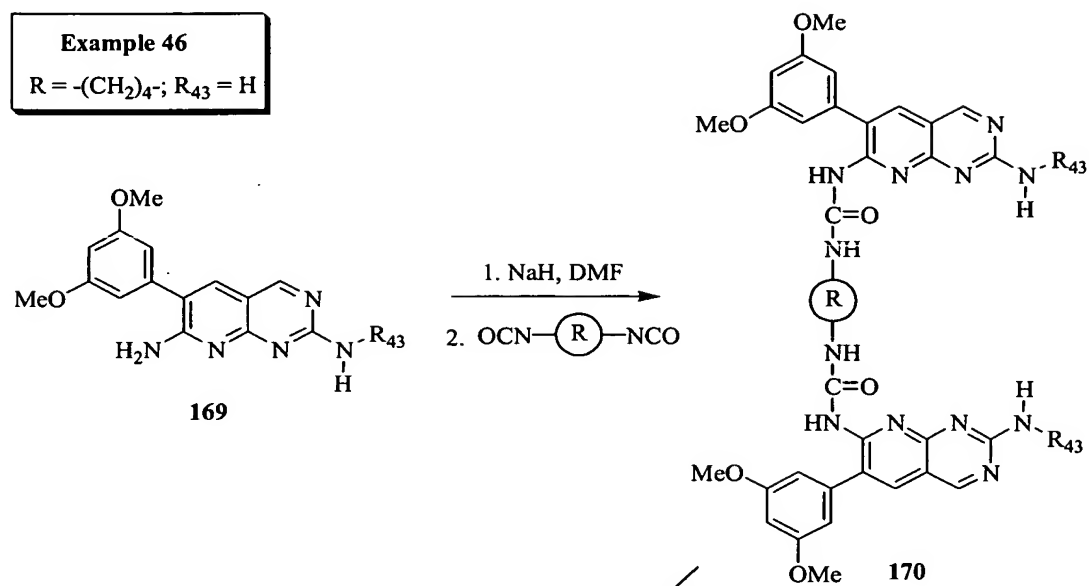
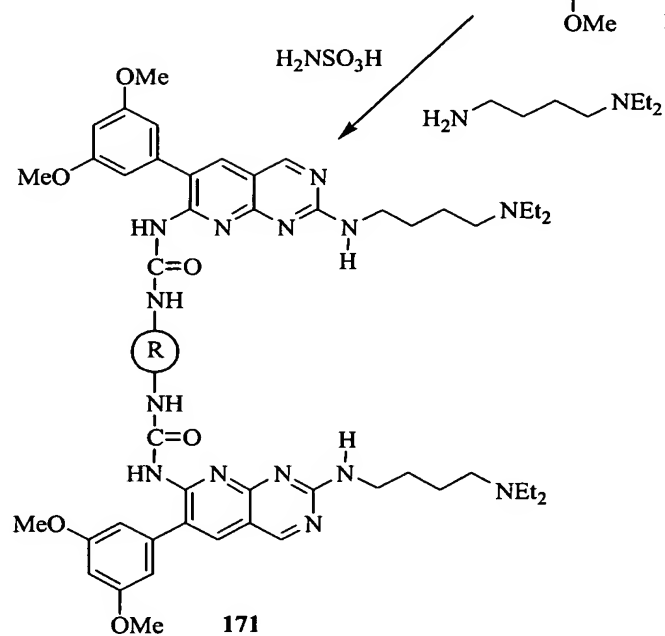
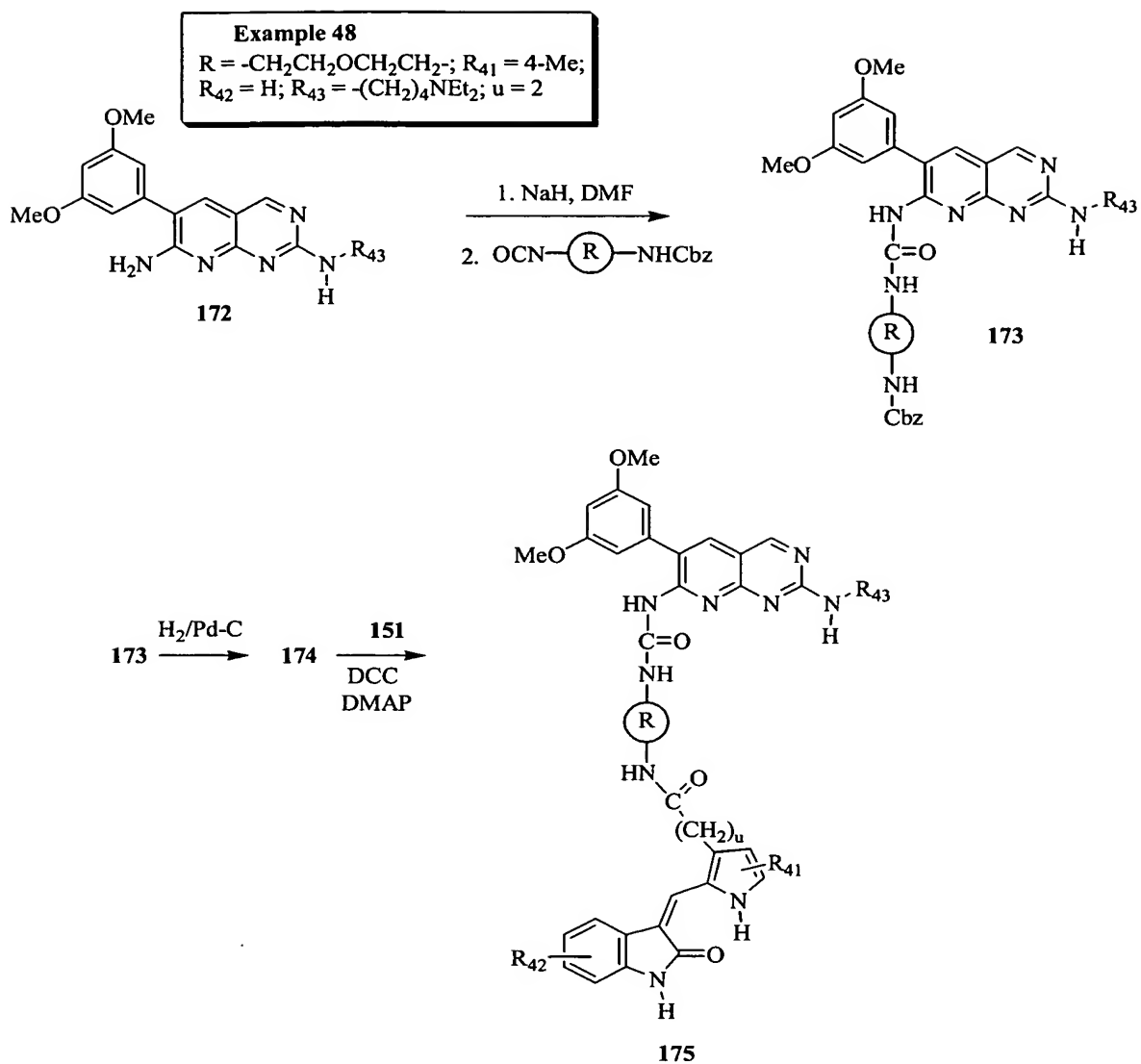
Example 46 $R = -(CH_2)_4-$; $R_{43} = H$ **Example 47**

FIGURE 27



Example 49 $R = -(CH_2CH_2O)_5CH_2CH_2OH$; $Ar_4 = 3\text{-Cl-4-F-C}_6\text{H}_3$

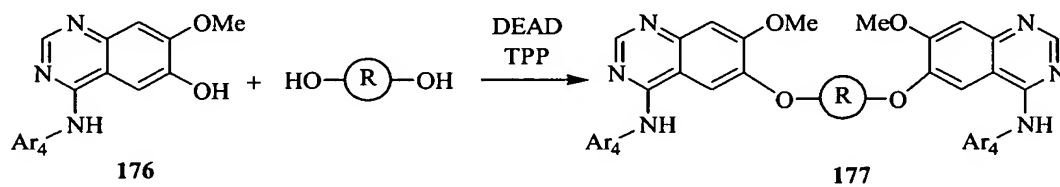
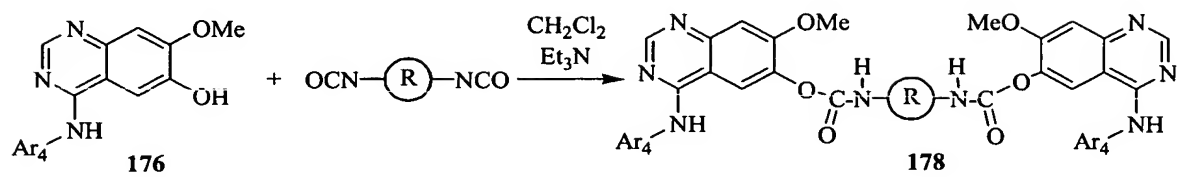


FIGURE 28

Example 50
 $R = -C_6H_4-$; $Ar_4 = 3\text{-Cl-4-F-C}_6\text{H}_3$



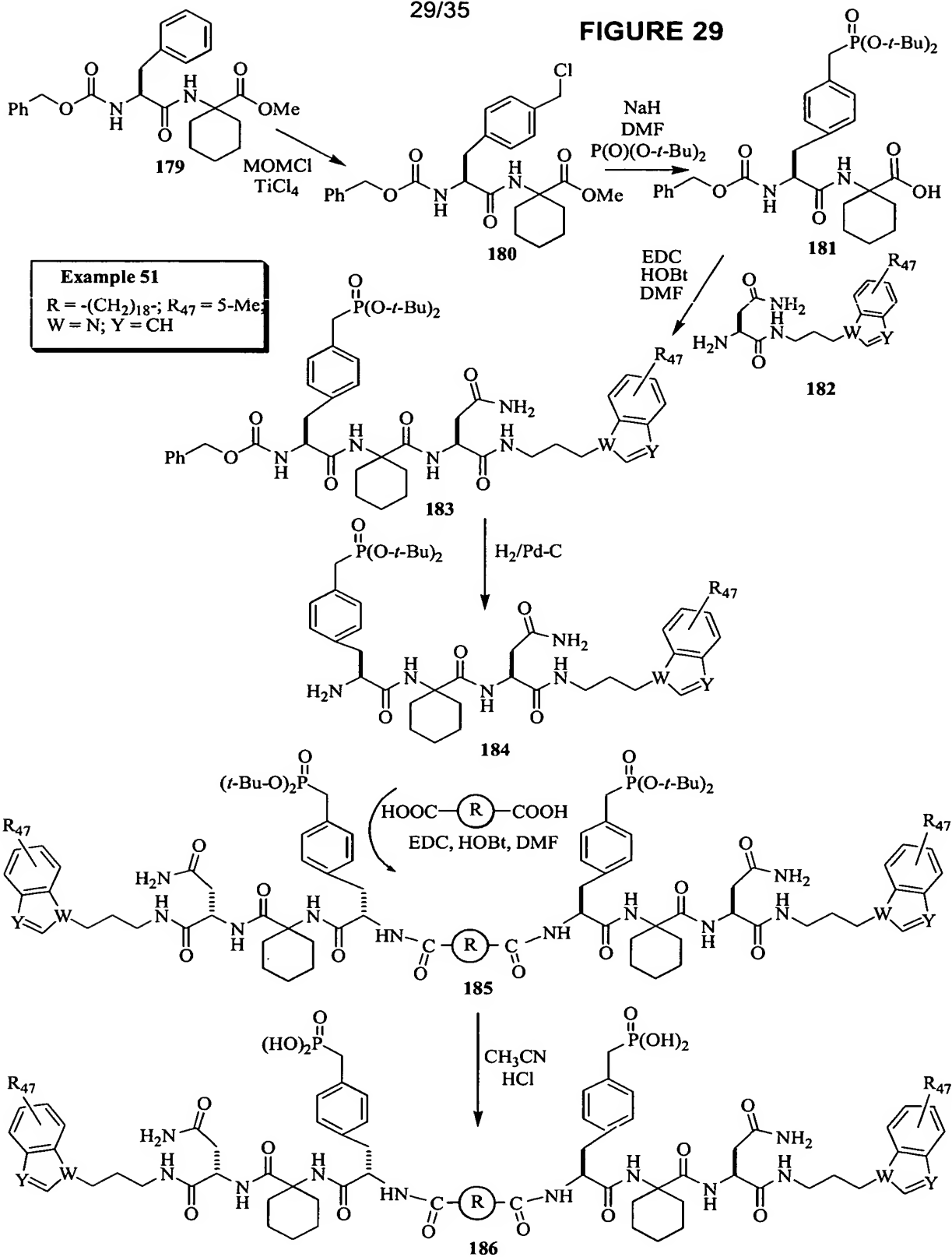
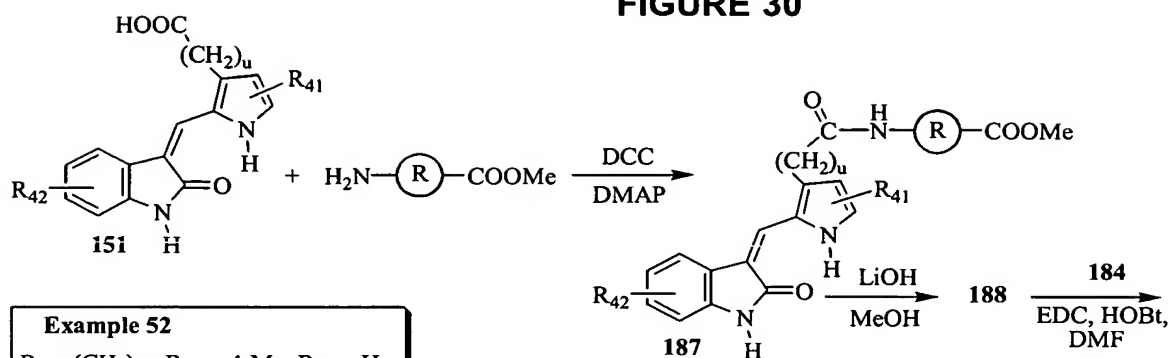
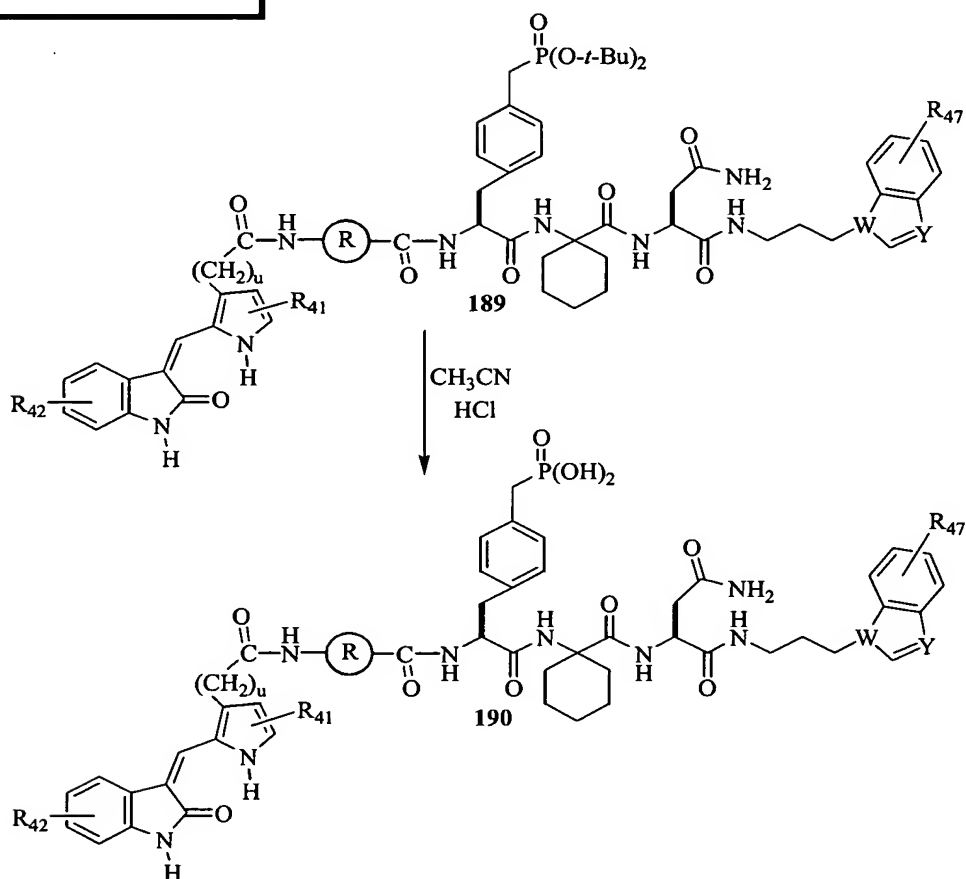


FIGURE 30

**Example 52**

R = $-(\text{CH}_2)_5-$; R₄₁ = 4-Me; R₄₂ = H;
 R₄₇ = 5-Me; W = N; Y = CH; u = 2



Example 53

$R = -CH_2OCH_2CH_2OCH_2-$; $R_{47} = 5\text{-Me}$; $W = N$; $Y = CH$

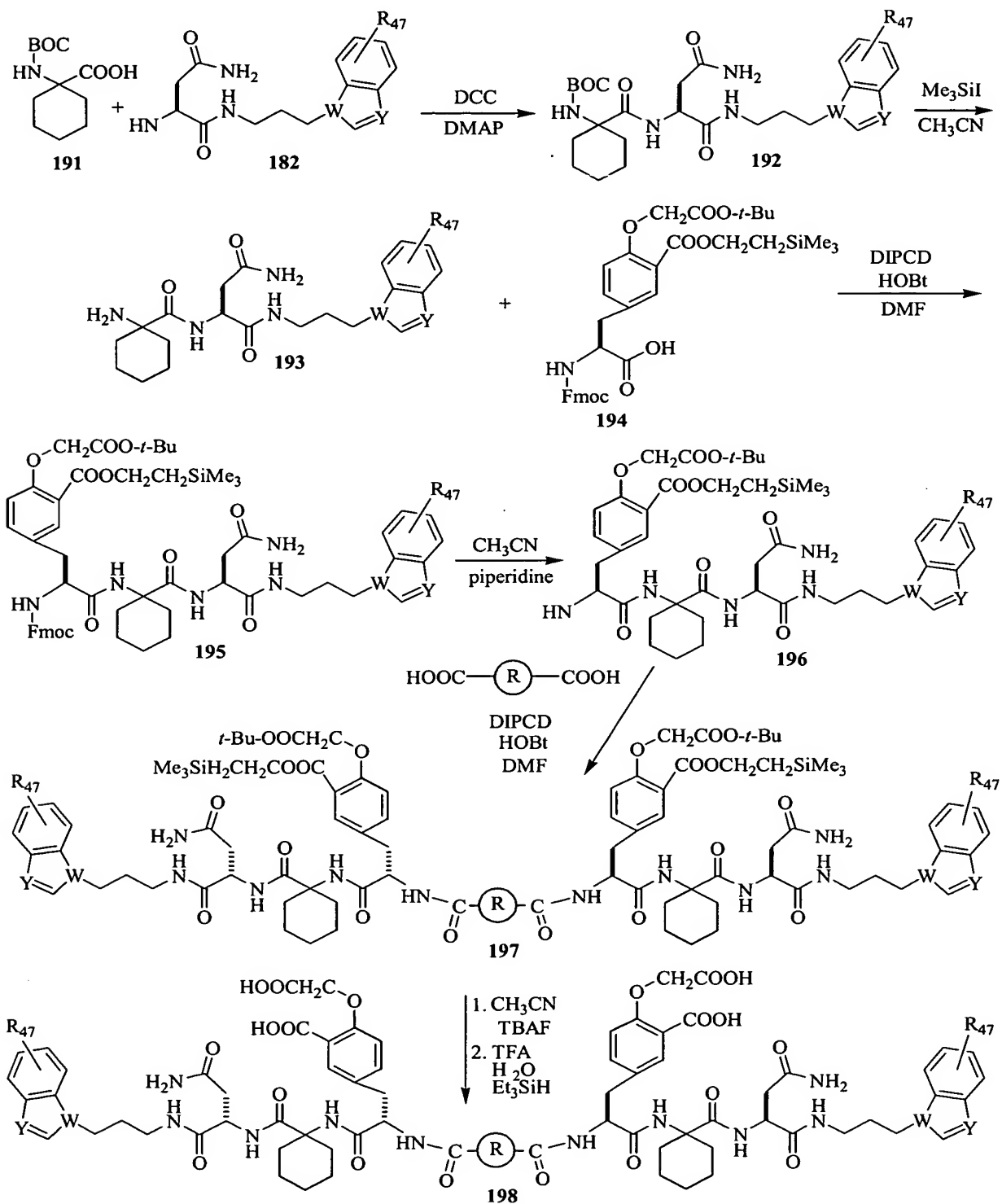
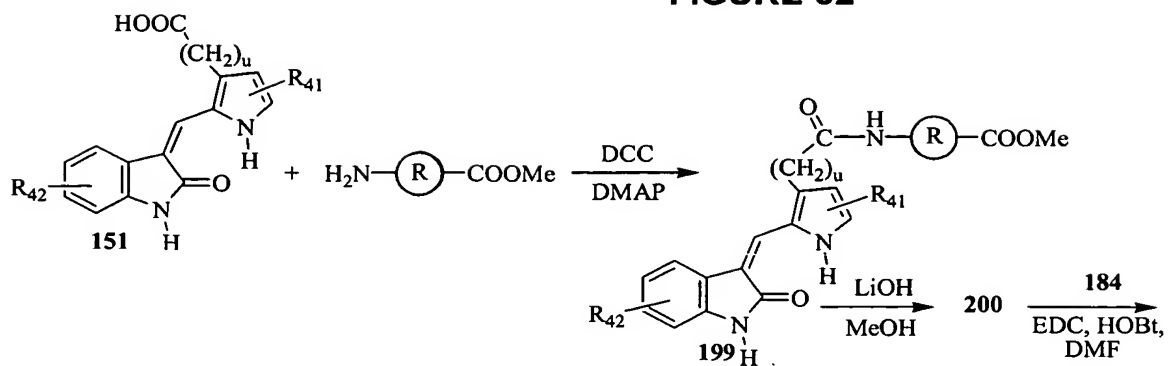
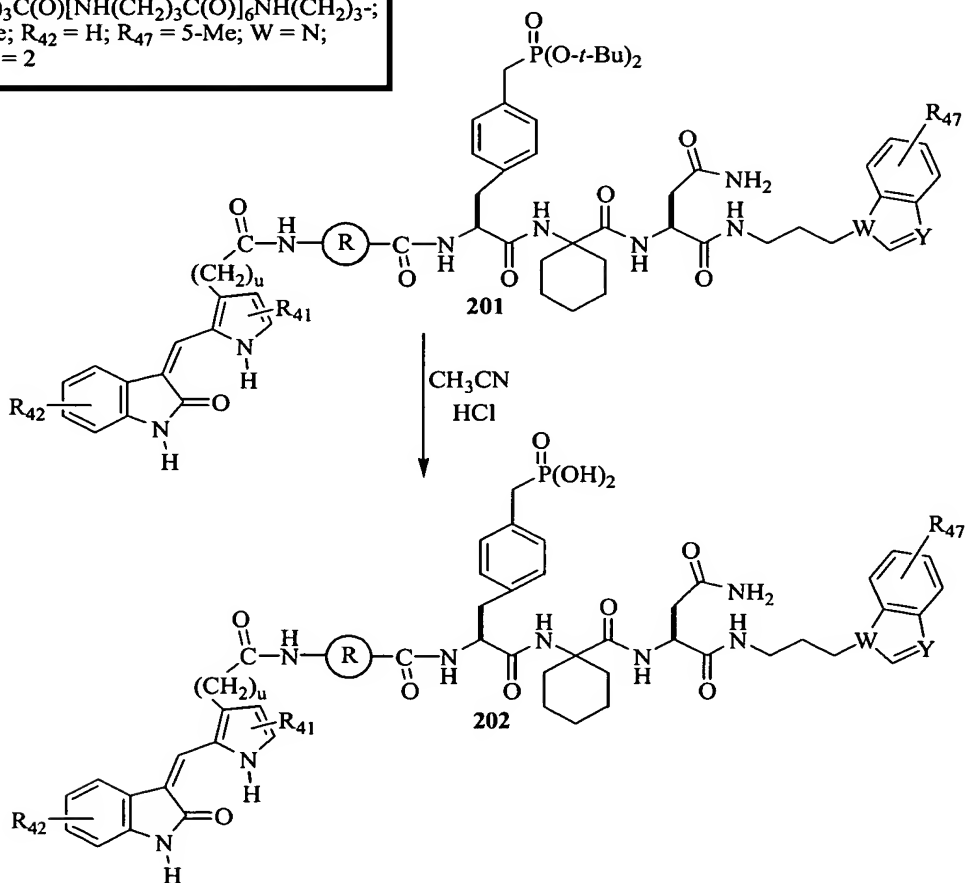


FIGURE 32

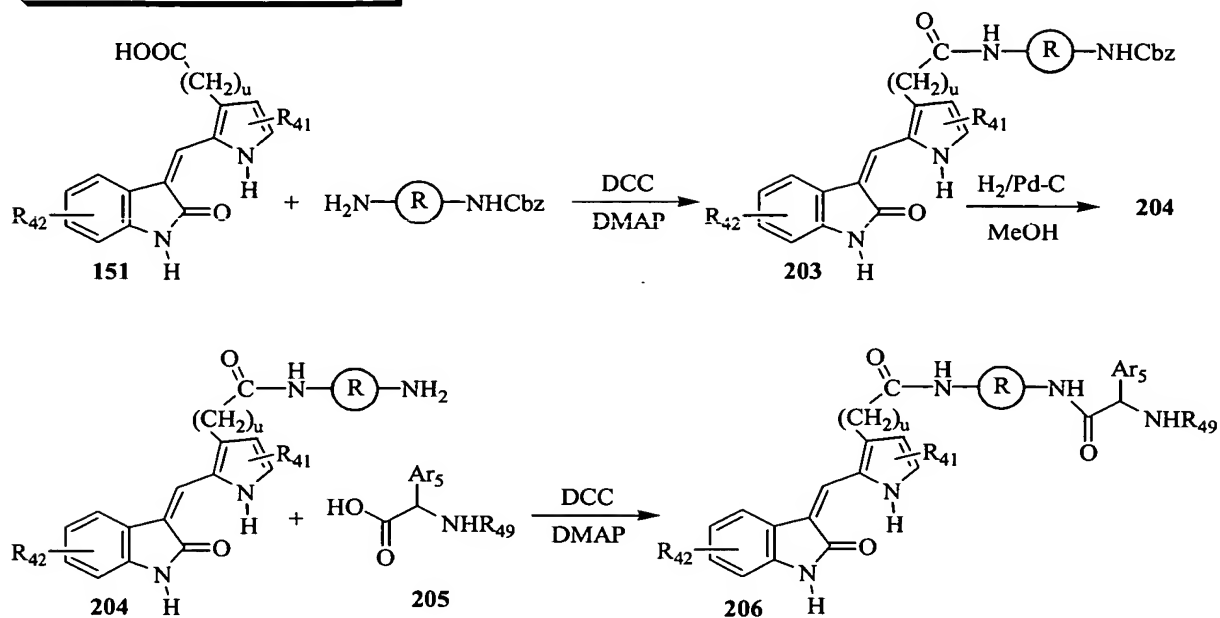
**Example 54**

R = $-(\text{CH}_2)_3\text{C}(\text{O})[\text{NH}(\text{CH}_2)_3\text{C}(\text{O})]_6\text{NH}(\text{CH}_2)_3-$;
 R₄₁ = 4-Me; R₄₂ = H; R₄₇ = 5-Me; W = N;
 Y = CH; u = 2

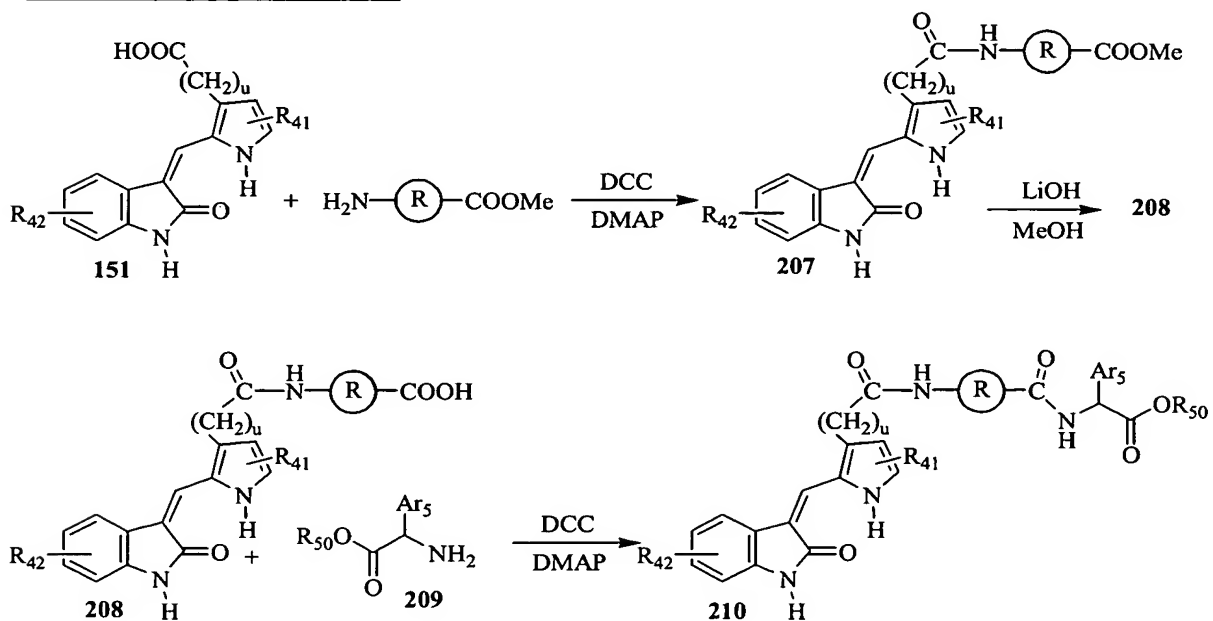


Example 55

$R = -(CH_2)_3-$; $R_{41} = H$; $R_{42} = 4-Me$
 $R_{49} = Ac$; $Ar_5 = C_6F_5$; $u = 2$

FIGURE 33**Example 56**

$R = -(CH_2)_3-$; $R_{41} = H$; $R_{42} = 4-Me$
 $R_{50} = Me$; $Ar_5 = C_6F_5$



34/35
FIGURE 34

Example 57

R = -4,4'-C₆H₄-C₆H₄-; R₄₇ = 5-Me;
W = N; Y = CH; indole double bond
at C₂-C₃

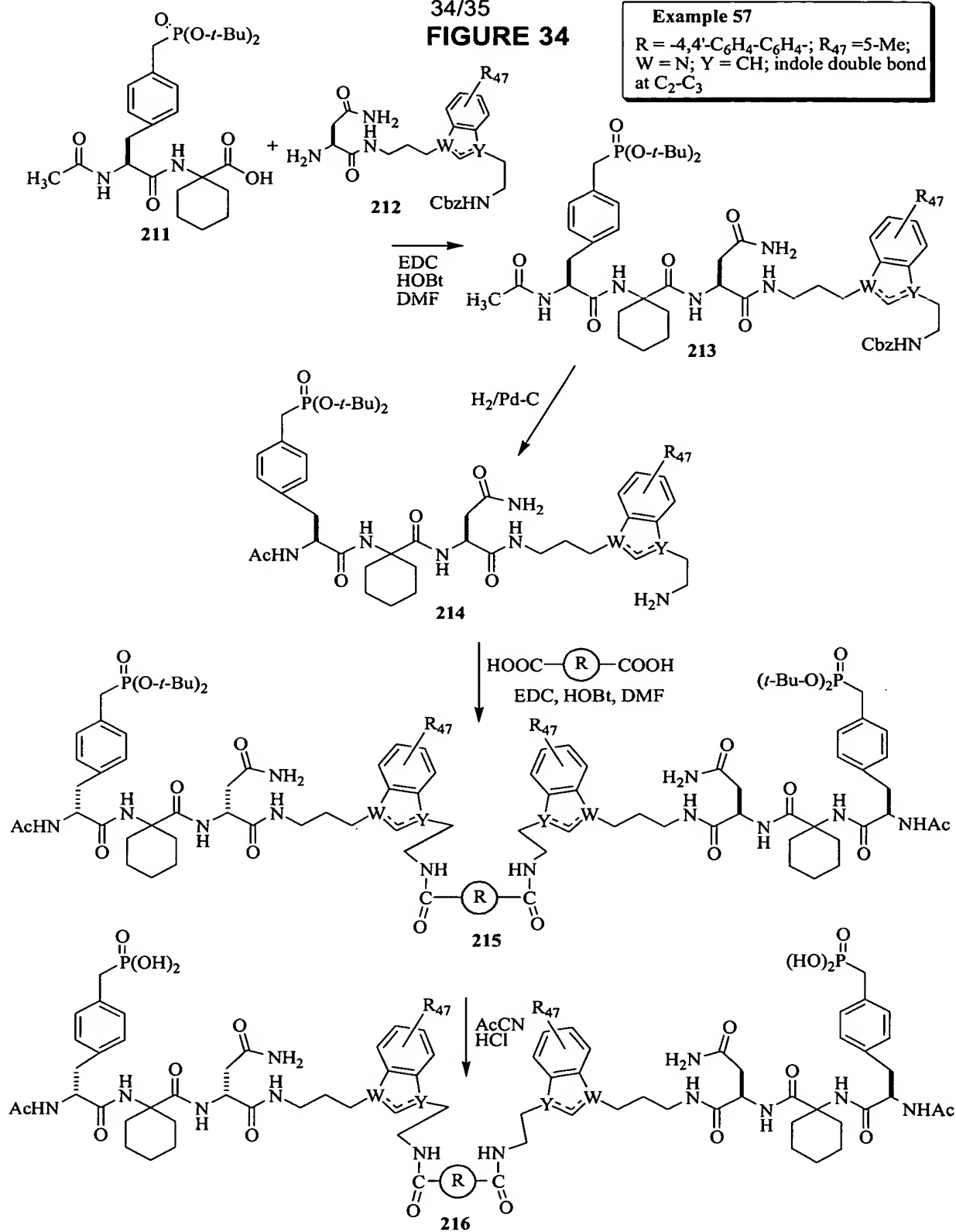


FIGURE 35

Example 58

R = -CH₂OCH₂-